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         MAR 06
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                 applications and grants
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                 enhanced
NEWS 24
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chain nodes :

13 14 15 17 18 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

2-13 12-18 13-14 14-15 14-17 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

2-13 12-18 13-14 14-15 14-17 17-18

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12$ 

G1:C,O,S,N

G2:0,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 22:Atom 23:Atom

Generic attributes :

22:

Saturation : Unsaturated

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16.5% PROCESSED	979472	ITERATIONS	2545	ANSWERS
16.8% PROCESSED INCOMPLETE SEARCH SEARCH TIME: 00.01	(SYSTEM		2545	ANSWERS

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PROJECTED ITERATIONS: 5937984 TO 5937984

PROJECTED ANSWERS: 14744 TO 15480

L2 2545 SEA SSS FUL L1

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 30 ANSWERS - CONTINUE? Y/(N):y

ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:386205 CAPLUS Full-text

TITLE: Preparation of (carboxyalkylphenyl)phenyloxalamides as

> activators of G protein-coupled receptor GPR40. Defossa, Elisabeth; Klabunde, Thomas; Dietrich, Viktoria; Stengelin, Siegfried; Haschke, Guido;

Herling, Andreas; Kuhlmann, Johanna; Bartoschek,

Stefan

Sanofi-Aventis, Fr. PATENT ASSIGNEE(S): PCT Int. Appl., 68pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

INVENTOR(S):

PAT	ENT 1	NO.			KIND DATE					APPL	ICAT		DATE				
WO	2009039943					_	20090402		1	WO 2	: 008-:	20080904					
	W: AE, AG,		AL,	AM,	AO,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	ΕE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
PRIORITY GT	RIORITY APPLN. INFO.:									EP 2007-291132 A 200709							921

AB Title compds. [I; R3 = H, F, C1, Br, cyano, CF3, OH, OCF3, OCHF2, SMe, SCF3, (substituted) Ph, OPh, CO2H, alkylcarbonyl, alkyl, cycloalkyl, OBn, SO3H, piperidinylsulfonyl, etc.; R1-R5 = H, F, C1, Br, cyano, CF3, SCF3, OCHF2, SMe, SCF3, (substituted) Ph, OPh, CO2H, alkylcarbonyl, alkyl, cycloalkyl, OBn, SO3H, piperidinylsulfonyl, etc.; R2, R4 = H, F, C1, Br, cyano, CF3, OCF3, OCHF2, SMe, SCF3, (substituted) Ph, OPh, CO2H, alkylcarbonyl, alkyl, cycloalkyl, SO3H, piperidinylsulfonyl, etc.; R7, R8 = H, alkyl; X = (substituted) alkylene; m = 0-4; R6 = OH, F, C1, Br, C3, C4, C5, C6, CCF3, (substituted) alkyl, alkoxy], were prepared Thus, N-(2-bromo-4-

Ι

isopropylphenyl)-N'-[4-(2-carboxyethyl)phenyl]oxalamide (preparation outlined) activated GPR40 receptors in a FLIPR assay with EC50 = 0.1  $\mu$ M.

IT 1136080-81-3P 1136080-95-9P 1136081-05-4P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (carboxyalkylphenyl)phenyloxalamides as activators of G protein-coupled receptor GPR40)

RN 1136080-81-3 CAPLUS

CN Benzenepropanoic acid, 3-[[2-[[5-(1-methylethyl)[1,1'-biphenyl]-2-yl]amino]-2-oxoacetyl]amino]- (CA INDEX NAME)

RN 1136080-95-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1136081-05-4 CAPLUS

CN Benzenepropanoic acid, 3-[[2-[[4'-(1,1-dimethylethyl)[1,1'-biphenyl]-4-yl]amino]-2-oxoacetyl]amino]- (CA INDEX NAME)

$$\mathsf{t}^{-\mathsf{B}\,\mathsf{u}} = \mathsf{N}^{\mathsf{H}} = \mathsf{C}^{\mathsf{O}} = \mathsf{N}^{\mathsf{H}} = \mathsf{C}^{\mathsf{H}}_2 = \mathsf{C}^{\mathsf{H}}_2 = \mathsf{C}^{\mathsf{H}}_2 = \mathsf{C}^{\mathsf{O}}_2 + \mathsf{H}$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:139320 CAPLUS Full-text

DOCUMENT NUMBER: 150:191166

TITLE: Preparation of ureas and related compounds having dual

inhibitory activity for IMPDH/HDAC

INVENTOR(S): Pankiewicz, Krzysztof; Chen, Liqiang; Vince, Robert

PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA

SOURCE: PCT Int. Appl., 49pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

	PAI	PATENT NO.						DATE			APPL	ICAT		DATE					
	WO	2009	0183	44		A1	_	2009	0205	1	WO 2	 008-1	us71	 598		2	080.	730	
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,	
			TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
			ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
			TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
			AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
PR	RIORITY APPLN. INFO.:									1	US 2	007-	9528	00P	P 20070730				
OT.	HER SC	URCE	(S):			MAR	PAT	150:	1911	66									
GT																			

Title compds. A-X-L-B [I, A = Q1, etc.; X = direct bond, -NH-, -NH-CO-, etc.; L = hydrocarbon chain that is optionally substituted with oxo, wherein carbon atoms of the chain can optionally be replaced with O, S, NH, etc.; B = - CONHOH, -COCH2SH, -CH2-(CH2)n-SH, etc.; n = 1-6] or salts thereof were prepared For example, reaction of 3-methoxy-4-(oxazol-5-yl)aniline with triphospgene followed by in-situ treatment with tert-Bu (E)-3-[4- (aminomethyl)phenyl]acrylate hydrochloride, de-esterification using CF3CO2H, exposure to O-tritylhydroxylamine, and reaction with CF3CO2H and Et3SiH afforded compound II. In IMP-dehydrogenase/histone deacetylase (IMPDH/HDAC) inhibition assays, the exemplified compound II showed the Ki values ( $\mu$ M) of 7.8±0.8 (IMPDH1) and 1.2±0.2 (IMPDH2), and IC50 ( $\mu$ M) of 0.026±0.006 (HDAC). Compds. I are claimed useful for the treatment of cancer.

IT 1109236-88-5P 1109236-90-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureas and related compds. having dual inhibitory activity for  ${\tt IMPDH/HDAC}$ )

RN 1109236-88-5 CAPLUS

CN Ethanediamide, N1-[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propen-1-yl]phenyl]-N2-[3-methoxy-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 1109236-90-9 CAPLUS

CN Ethanediamide, N1-[3-[(1E)-3-(hydroxyamino)-3-oxo-1-propen-1-yl]phenyl]-N2-[3-methoxy-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

IT 1109237-31-1P 1109237-32-2P 1109237-33-3P

1109237-35-5P 1109237-36-6P 1109237-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ureas and related compds. having dual inhibitory activity for  ${\tt IMPDH/HDAC}$ )

RN 1109237-31-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-2-oxoacety1]amino]pheny1]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1109237-32-2 CAPLUS

CN 2-Propenoic acid, 3-[3-[[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-2-oxoacety1]amino]pheny1]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1109237-33-3 CAPLUS

CN 2-Propenoic acid, 3-[4-[[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-2-oxoacetyl]amino]pheny1]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1109237-35-5 CAPLUS

CN 2-Propenoic acid, 3-[3-[[2-[[3-methoxy-4-(5-oxazoly1)pheny1]amino]-2-oxoacety1]amino]pheny1]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1109237-36-6 CAPLUS

CN Ethanediamide, N1-[3-methoxy-4-(5-oxazolyl)phenyl]-N2-[4-[(1E)-3-oxo-3-[(triphenylmethoxy)amino]-1-propen-1-yl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 1109237-37-7 CAPLUS

CN Ethanediamide, N1-[3-methoxy-4-(5-oxazolyl)phenyl]-N2-[3-[(1E)-3-oxo-3-[(triphenylmethoxy)amino]-1-propen-1-yl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1529247 CAPLUS Full-text

DOCUMENT NUMBER: 150:77371

TITLE: Preparation of novel malonic acid sulfonamide

derivatives as angiotensin AT2 receptor agonists INVENTOR(S): Yoshida, Tomohiro; Sakashita, Hiroshi; Numata,

Atsushi; Tahara, Saori; Kawasumi, Hisashi

PATENT ASSIGNEE(S): Mitsubishi Tanabe Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 433pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GΙ

AB

	PAT		KIN	DATE			APPL	ICAT		DATE									
	WO	2008156142				A1	_	20081224		WO 2008-JP6124						2008061			
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
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			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
			AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM								
PR	IORITY	APP	LN.	INFO	.:						JP 2	007-	1630	99	A 20070620				
OT	HER SC	URCE	(S):			MAR:	PAT	150:	7737	1									
GT																			

The title compds. [I; R1 = each (un)substituted C1-8 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, C3-10 cycloalkyl-C1-6 alkyl, heterocyclyl, aryl,

```
heteroary1-C1-6 alky1, heteroary1oxy-C1-6 alky1, or heteroary1-C2-6 alkeny1;
                 one of R2 and R3 = H or halo and the other = halo, each (un)substituted C1-6
                 alkyl, C1-6 alkoxy, C2-6 alkenyl, or C2-6 alkynyl, (CH2)nCONR5R6, etc.; R5,
                 R6 = H, C1-6 alkyl, each (un)substituted aryl or heteroaryl; or NR5R6 =
                 (un) substituted cyclic amino; R4 = NR7R8; R7, R8 = H, each (un) substituted C1-
                 6 alkyl, C2-6 alkenyl, aryl, aryl-C1-6 alkyl, heteroaryl, heteroaryl-C1-6
                 alkyl, C3-10 cycloalkyl, or heterocyclyl; or NR7R8 = (un)substituted cyclic
                 amino] or pharmaceutically acceptable salts thereof or hydrates thereof were
                prepared These compds. have selective AT2 receptor agonism and have a
                 therapeutic and/or preventive effect on various diseases due to AT2 receptor
                 agonism and are useful as pharmaceuticals for treating and/or preventing
                 diseases associated with the renin-angiotensin-aldosterone (RAAS) system, e.g.
                 metabolic diseases or circulatory diseases such as cerebral infarction, kidney
                 diseases, heart diseases, hypertension, diabetes, and metabolic syndrome.
                 Thus, 2-(4-aminobenzyl)-N, N-diethyl-N'-(2-naphthylsulfonyl) malonamide was
                 condensed with 5-fluoroanthranilic acid using 1-ethyl-3-(3-
                 dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-
                 dimethylaminopyridine in DMF at room temperature for 16 h to give 2-[4-[(2-
                 amino-3-methylbenzoyl)amino]benzyl]-N, N-diethyl-N'-(2-
                 naphthylsulfonyl)malonamide (II). Optical resolution of II using (1S,2S)-(+)-
                 2-amino-1-(4-nitrophenyl)-1,3-propanediol gave (2S)-2-[4-[(2-amino-5-
                 fluorobenzoyl)amino]benzyl]-N, N-diethyl-N'-(2- naphthylsulfonyl)malonamide
                 (III). III in vitro showed binding affinity to human recombinant angiotensin
                AT2 receptor with Ki of 0.9 nM.
               1094198 \cdot 16 \cdot 9P, 2-[(Biphenyl-3-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-
ΙT
               2-phenylethenyl)sulfonyl]malonamide 1094198-17-0P,
               2-[(Biphenyl-3-yl)methyl]-N-ethyl-N'-[(2-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[(3-naphthyl)sulfonyl]-N-ethyl-N'-[
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               phenylmalonamide 1094198-30-5P,
               N-Ethyl-2-[(4'-methoxybiphenyl-4-yl)methyl]-N'-phenethylsulfonyl-N-
               phenylmalonamide 1094198-21-6P,
               2-[(Biphenyl-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl]-N-ethyl-N-phenyl-N'-[((E)-2-yl)methyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-ethyl-N-eth
               phenylethenyl)sulfonyl]malonamide 1094198-22-7P,
               2-[(Biphenyl-2-yl)methyl]-N-ethyl-N'-[(2-naphthyl)sulfonyl]-N-
               phenylmalonamide 1094198-23-8P,
               2-[(Biphenyl-2-yl)methyl]-N-ethyl-N'-phenethylsulfonyl-N-phenylmalonamide
               RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
               (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
               (Uses)
                         (preparation of novel malonic acid sulfonamide derivs. as angiotensin AT2
                        receptor agonists for prevention and/or treatment of metabolic or
                        circulatory diseases)
RN
               1094198-16-9 CAPLUS
CN
               Propanediamide, 2-([1,1'-biphenyl]-3-ylmethyl)-N1-ethyl-N1-phenyl-N3-
               [[(1E)-2-phenylethenyl]sulfonyl]- (CA INDEX NAME)
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aryl-C1-6 alkyl, aryloxy-C1-6 alkyl, aryl-C2-6 alkenyl, heteroaryl,

Double bond geometry as shown.

RN 1094198-17-0 CAPLUS

CN Propanediamide, 2-([1,1'-biphenyl]-3-ylmethyl)-N1-ethyl-N3-(2-naphthalenylsulfonyl)-N1-phenyl- (CA INDEX NAME)

RN 1094198-18-1 CAPLUS

CN Propanediamide, N1-ethyl-2-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N1-phenyl-N3-[[(1E)-2-phenylethenyl]sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 1094198-19-2 CAPLUS

CN Propanediamide, N1-ethyl-2-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N3-(2-naphthalenylsulfonyl)-N1-phenyl- (CA INDEX NAME)

RN 1094198-20-5 CAPLUS

CN Propanediamide, N1-ethyl-2-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N1-phenyl-N3-[(2-phenylethyl)sulfonyl]- (CA INDEX NAME)

RN 1094198-21-6 CAPLUS

CN Propanediamide, 2-([1,1'-biphenyl]-2-ylmethyl)-N1-ethyl-N1-phenyl-N3-[[(1E)-2-phenylethenyl]sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 1094198-22-7 CAPLUS

CN Propanediamide, 2-([1,1'-biphenyl]-2-ylmethyl)-N1-ethyl-N3-(2-naphthalenylsulfonyl)-N1-phenyl- (CA INDEX NAME)

RN 1094198-23-8 CAPLUS

CN Propanediamide, 2-([1,1'-biphenyl]-2-ylmethyl)-N1-ethyl-N1-phenyl-N3-[(2-phenylethyl)sulfonyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1466048 CAPLUS Full-text

DOCUMENT NUMBER: 149:576567
TITLE: Preparation of

2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine

derivatives and related compounds for treatment of

Alzheimer's disease

INVENTOR(S): Kobayashi, Naotake; Ueda, Kazuo; Itoh, Naohiro;

Suzuki, Shinji; Sakaguchi, Gaku; Kato, Akira; Yukimasa, Akira; Hori, Akihiro; Kooriyama, Yuji; Haraguchi, Hidekazu; Yasui, Ken; Kanda, Yasuhiko

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan SOURCE: PCT Int. Appl., No pp. given

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

GΙ

	PATENT NO.						D	DATE			APPL	ICAT		DATE					
		2000122272					_	2000	1106	,				20080423					
	WO	0 2008133273				AT		20001100			W 2	000-	AAS /	044					
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			ML,	MR,	NE,	SN,	TD,	ΤG											
PRIOR	PRIORITY APPLN. INFO.:										JP 2007-114764 A 200						0070	424	

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; ring A = each (un)substituted carbocyclic or heterocyclic ring group; E = a bond, Alk1, O-Alk1, S-Alk1, N(R0)-Alk1; Alk1 = lower alkylene or alkenylene; R0 = H, lower alkyl, acyl; X = S, O, NR1; R1 = H, lower alkyl; R2a, R2b = H, HO, each (un)substituted lower alkyl, lower alkenyl, NH2, amidino, acyl, CONH2, carbamoylcarbonyl, lower alkylsulfonyl, arylsulfonyl, or heterocyclyl; R3a, R3b, R4a, R4b = H, halo, HO, each (un) substituted lower alkyl, lower alkenyl, acyl, lower alkoxycarbonyl, NH2, CONH2, carbocyclyl, or heterocyclyl, CO2H; n, m = an integer of 0-3, provided that n+m = 1-3; R5 = H, each lower alkyl, lower alkenyl, lower alkynyl, carbocyclyl, or heterocyclyl], pharmaceutically acceptable salts thereof, or hydrates thereof were prepared These compds. inhibit proteinase BACE-1 and the production of amyloid eta protein and are useful for treatment of diseases induced by the production, secretion, or deposition of amyloid  $\beta$  protein, in particular Alzheimer's disease. Thus, addition reaction of N-(3-acetyl-5bromophenyl)-2,2,2- trifluoroacetamide with vinylmagnesium chloride in THF/Et20 in a dry ice-acetone bath for 20 min, under ice cooling for 30 min, and at room temperature for 35 min gave an allyl alc. (II) which under went condensation reaction with thiourea in 1 M HCl/EtOAc solution at room temperature for 69 h and at  $40^{\circ}$  for 45 h to give an isothiourea (III).

Cyclization of III in the presence of CF3SO3 in CF3CO2H at room temperature for 3.5 h gave an 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine (IV; R = H, R1 = CF3CO) which underwent N-protection with di(tert-butyl) dicarbonate in the presence of Et3N in THF under ice-cooling for 2 h and at room temperature for 3 h to give IV (R = Boc, R1 = CF3CO). IV (R = Boc, R1 = CF3CO) was treated with a mixture of 1 M NaOH aqueous solution and THF at 50° for 4 h and then with 4 M HCl/1,4-dioxane solution to give IV.2HCl (R = R1 = H). The compound (V) at 10 mg/kg p.o. in vivo lowered amyloid  $\beta$  protein by 50.1% in rat brain after 3 h. [This abstract record is one of 4 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

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1084685-21-1P 1084685-32-4P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (preparation of 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine derivs. and
  related compds. as inhibitors of proteinase BACE-1 and production of
   amyloid \beta protein for treatment of Alzheimer's disease)
1084630-92-1 CAPLUS
Ethanediamide, N1-[3-[4,5-dihydro-4-methyl-2-(methylamino)-4-
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RN

CN

RN 1084631-02-6 CAPLUS
CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-(methylamino)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084631-13-9 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-(methylamino)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084631-54-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4,5-dimethyl-2-(methylamino)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084631-65-1 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-5-methyl-2-(methylamino)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084631-76-4 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-5-methyl-2-(methylamino)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN

CN Ethanediamide, N1-[3-[4,5-dihydro-4-methyl-2-(methylamino)-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084632-36-9 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-(methylamino)-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084632-42-7 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-(methylamino)-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084632-86-9 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084632-96-1 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\text{HO-CH}_2\text{-CH}_2\text{-NH} \underbrace{\hspace{1cm} \overset{\text{Et}}{\underset{\text{NH}}{\overset{\text{C}}{\longrightarrow}}} \overset{\text{O}}{\underset{\text{NH}}{\overset{\text{O}}{\longrightarrow}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}{\longrightarrow}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{O}}} \overset{\text{O}}{\underset{\text{O}}} \overset{\text{O}}{\underset{\text{NHPh}}{\overset{\text{O}}}} \overset{\text{O}}{\underset{\text{O}}} \overset{\text{O}}{\overset$$

RN 1084633-09-9 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-(hydroxymethyl)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084633-52-2 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4,5-dimethyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084633-62-4 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxyethyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084633-73-7 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-(hydroxymethyl)-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084634-18-3 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-methyl-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084634-28-5 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxyethyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084634-38-7 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-(hydroxymethyl)-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084634-84-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084634-96-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084635-08-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084635-54-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084635-65-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{NH-C-C-NHPh} \\ & \text{NH-C-C-NHPh} \\ & \text{NH-C-C-NHPh} \\ & \text{NH-C-NHPh} \\ & \text{NH-C$$

RN 1084636-15-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084636-24-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084636-35-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{NH-C-C-NHPh} \\ & \text{II} \\ & \text{II} \\ & \text{CH}_2\text{-OH} \\ & \text{S} \\ & \text{Ph} \end{array}$$

RN 1084637-17-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{O} \quad \text{CH}_2\text{-Ph} \\ \text{H}_2\text{N} \quad \text{C} \quad \text{CH} \quad \text{NH} \quad \text{N} \\ \text{S} \quad \text{NH} \quad \text{C} \quad \text{C} \quad \text{NHPh} \end{array}$$

RN 1084637-25-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084637-32-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084637-81-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & & \text{CH}_2\text{-Ph} \\ \text{H}_2\text{N} & & \text{C} & \text{CH}_2\text{-NH} \\ \end{array} \\ \begin{array}{c} \text{N} \\ \text{N} \\ \text{Me} \end{array} \\ \begin{array}{c} \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \end{array} \\ \begin{array}{c} \text{N} \\ \text$$

RN 1084637-93-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084638-04-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084638-50-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084638-59-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084638-70-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084639-23-5 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\text{HO-CH}_2\text{--CH-NH-} \underbrace{\overset{\text{Me}}{\underset{\text{S}}{\longrightarrow}}}_{\text{NH-C-C-NHPh}}$$

RN 1084639-29-1 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

HO— 
$$CH_2$$
—  $CH$ —  $NH$ —  $CH$ —  $NH$ —  $CH$ —  $NH$ —  $CH$ —  $CH$ —  $NH$ —  $CH$ —

RN 1084639-39-3 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(2-hydroxy-1-methylethyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084639-83-7 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4,5-dimethyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084639-93-9 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084640-03-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethy1)-2-[(2-hydroxy-1-methylethy1)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084640-48-1 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-methyl-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084640-60-7 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX

$$HO = CH2 = CH = NH$$

$$S = NH = C = C = NHPh$$

$$NH = C = C = NHPh$$

RN 1084640-72-1 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethy1)-2-[(2-hydroxy-1-methylethy1)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084641-23-5 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084641-36-0 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\text{MeNH-} \overset{\bigcirc}{\text{C}} \overset{\text{Me}}{\text{C}} \overset{\text{Me}}{\text{NH}} = \overset{\bigcirc}{\text{NH}} \overset{\bigcirc}{\text{NH}} = \overset{\longrightarrow}{\text{NH}} = \overset$$

RN 1084641-46-2 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX

NAME)

RN 1084641-94-0 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4,5-dimethyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084642-04-5 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-5-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084642-16-9 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-5-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084642-58-9 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084642-68-1 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084642-79-4 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl-(CA INDEX NAME)

RN 1084655-27-5 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\text{i-Pr-CH-C-NH} \xrightarrow{\text{OH}} \text{NH-C-NHPh}$$

RN 1084655-36-6 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$i-\text{Pr}-\overset{\text{OH}}{\text{CH}}-\overset{\text{O}}{\text{C}}-\text{NH}-\overset{\text{O}}{\text{S}}-\overset{\text{Et}}{\text{NH}}-\overset{\text{O}}{\text{C}}-\overset{\text{O}}{\text{NHPh}}$$

RN 1084655-48-0 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084656-06-3 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4,5-dimethyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084656-16-5 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084656-27-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084656-77-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-methyl-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084656-87-0 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084656-97-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084657-51-1 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-methyl-2-[(methylsulfonyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084657-62-4 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(methylsulfonyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 1084657-74-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(methylsulfonyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084658-10-5 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4,5-dimethyl-2-[(methylsulfonyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084658-20-7 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-5-methyl-2-[(methylsulfonyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084658-31-0 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-5-methyl-2-[(methylsulfonyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084658-91-2 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-methyl-2-[(methylsulfonyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084659-00-6 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(methylsulfonyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084659-10-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(methylsulfonyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX

NAME)

$$\begin{array}{c|c} & \text{NH-C-C-NHP} \\ & \text{NH-C-C-C-NHP} \\ & \text{NH-C-C-$$

RN 1084659-65-3 CAPLUS

CN Ethanediamide, N1-[3-(2-amino-4,5-dihydro-4-methyl-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084659-75-5 CAPLUS

CN Ethanediamide, N1-[3-(2-amino-4-ethyl-4,5-dihydro-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084659-82-4 CAPLUS

CN Ethanediamide, N1-[3-[2-amino-4,5-dihydro-4-(hydroxymethyl)-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084660-23-0 CAPLUS

CN Ethanediamide, N1-[3-(2-amino-4,5-dihydro-4,5-dimethyl-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084660-34-3 CAPLUS

CN Ethanediamide, N1-[3-(2-amino-4-ethyl-4,5-dihydro-5-methyl-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084660-41-2 CAPLUS

CN Ethanediamide, N1-[3-[2-amino-4,5-dihydro-4-(hydroxymethyl)-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084660-78-5 CAPLUS

CN Ethanediamide, N1-[3-(2-amino-4,5-dihydro-4-methyl-5-phenyl-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084660-90-1 CAPLUS

CN Ethanediamide, N1-[3-(2-amino-4-ethyl-4,5-dihydro-5-phenyl-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084660-98-9 CAPLUS

CN Ethanediamide, N1-[3-[2-amino-4,5-dihydro-4-(hydroxymethy1)-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-C-C-NHPh} \\ & \text{II} & \text{II} \\ & \text{NH-C-C-NHPh} \\ & \text{NH-C-NHPh} \\ & \text{NH-C-$$

RN 1084661-55-1 CAPLUS

CN Ethanediamide, N1-[3-[2-[(2,3-dihydroxypropy1)amino]-4,5-dihydro-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084661-67-5 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-3-methoxypropyl)amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\texttt{MeO-CH2-CH-CH2-NH-N-Me} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt{NH-C-C-NHPh} \\ \texttt$$

RN 1084661-79-9 CAPLUS

CN Ethanediamide, N1-[3-[2-[(3-amino-2-hydroxypropyl)amino]-4,5-dihydro-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\begin{array}{c} \text{H}_{2}\text{N} - \text{CH}_{2} - \overset{\text{OH}}{\text{CH}_{2}} - \text{NH} - \overset{\text{Me}}{\text{CH}_{2}} - \text{NH} - \overset{\text{O}}{\text{C}} - \overset{\text{O}}{\text{C}} - \text{NHPh} \end{array}$$

RN 1084661-90-4 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[[2-hydroxy-3-(methylamino)propyl]amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\texttt{MeNH-CH}_2 = \texttt{CH-CH}_2 = \texttt{NH-Me} \\ \texttt{NH-C-NHPh} \\ \texttt{NH-C-NHP$$

RN 1084662-04-3 CAPLUS

CN Ethanediamide, N1-[3-[2-[[3-(acetylamino)-2-hydroxypropyl]amino]-4,5-dihydro-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

RN 1084662-16-7 CAPLUS

CN Ethanediamide, N1-[3-[2-[[3-(dimethylamino)-2-hydroxypropyl]amino]-4,5-dihydro-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH-CH}_2\text{-NH} \\ \end{array} \\ \begin{array}{c} \text{NMe} \\ \text{NH-C-C-NHPh} \end{array}$$

RN 1084662-27-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\text{H}_{2}\text{N} = \overset{\circ}{\text{C}} = \overset{\circ}{\text{N}} = \overset$$

RN 1084662-40-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\text{MeNH-} \overset{\circ}{\text{U}} \overset{\circ}{\text{U}} \overset{\circ}{\text{U}} \text{NH} \overset{\circ}{\text{S}} \overset{\text{Me}}{\text{NH-}} \overset{\circ}{\text{U}} \overset{\circ}{\text{U}} \overset{\circ}{\text{U}} \overset{\circ}{\text{NHPh}}$$

RN 1084662-46-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\texttt{Me}_2\texttt{N} - \overset{\circ}{\texttt{C}} - \overset{\circ}{\texttt{C}} - \texttt{NH} - \overset{\mathsf{Me}}{\texttt{S}} - \overset{\circ}{\texttt{NH}} - \overset{\circ}{\texttt{C}} - \overset{\circ}{\texttt{NHPh}}$$

RN 1084663-11-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084663-21-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084663-32-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084663-42-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084663-52-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084663-62-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084663-76-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084663-86-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084663-97-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084664-07-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084664-17-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084664-29-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084664-40-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084664-51-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084664-61-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084664-72-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084664-89-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084665-01-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084665-11-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084665-25-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084665-38-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084665-55-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084665-70-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084665-81-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084665-94-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-05-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-17-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-29-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-38-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084666-47-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084666-59-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-71-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-84-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084666-95-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084667-05-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084667-15-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084667-25-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084667-37-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084667-48-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084667-59-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Me 
$$NH = CH_2 - CH_2 - OH$$

RN 1084667-70-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084667-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Me 
$$HO-CH_2$$
  $NH-CH_2-CH_2-OH$ 

RN 1084667-94-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084668-06-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084668-18-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084668-31-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084668-42-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084668-51-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084668-64-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084668-75-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084668-86-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084668-97-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084669-03-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084669-15-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084669-25-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084669-36-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Ph Et NH—
$$CH_2-CH_2-OH$$

RN 1084669-49-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084669-59-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084669-71-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084669-82-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO HO-CH2 NH-CH2-CH2-OH

$$NH$$
-CH2-CH2-OH

RN 1084669-95-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084670-06-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084670-19-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084670-30-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084670-43-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084670-54-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084670-67-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084670-79-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084670-90-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-02-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084671-13-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-26-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-35-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-45-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-55-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084671-62-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-75-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-87-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084671-97-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084672-13-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084672-24-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084672-36-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} \text{Me} & \text{NH} & \text{O} & \text{O} \\ \text{NH} & \text{CH}_2 - \text{C} - \text{NH}_2 \end{array}$$

RN 1084672-48-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084672-59-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084672-71-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 1084672-81-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084672-93-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084673-06-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084673-17-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084673-26-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084673-38-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084673-48-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084673-58-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084673-72-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{HO} \\ \text{Me} \\ \text{S} \\ \text{NH-CH}_2 \\ \text{C-NHP} \\ \text{NH}_2 \\ \end{array}$$

RN 1084673-82-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084673-94-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084674-07-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084674-17-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084674-29-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084674-37-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084674-47-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084674-61-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084674-68-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084674-81-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084674-93-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084675-03-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084675-15-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084675-27-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084681-52-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084681-64-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084681-76-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084681-84-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} \text{Me} & \text{NH} & \text{O} & \text{O} \\ \text{NH} & \text{CH}_2 - \text{Ph} \\ \text{NH} - \text{CH} - \text{C} - \text{NH}_2 \\ \end{array}$$

RN 1084681-94-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} \text{Me} & \begin{array}{c} \text{Et} & \begin{array}{c} \\ \\ \end{array} & \begin{array}$$

RN 1084682-04-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084682-16-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084682-28-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084682-38-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084682-50-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084682-75-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO CH2 NH C NHPh

$$CH_2$$
 Ph

 $NH$  CH C NHPh

 $NH$  CH C NH2

RN 1084682-86-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084682-92-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084683-02-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Me 
$$CH_2$$
  $NH_2$   $CH_2-Ph$   $NH_2$   $CH_2-Ph$ 

RN 1084683-15-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084683-26-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084683-36-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084683-47-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084683-60-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084683-71-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084683-82-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084683-93-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084684-06-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084684-16-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084684-37-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084684-49-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084684-61-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084684-73-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084684-85-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084684-97-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084685-09-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084685-21-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084685-32-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

ΙT 1084685-38-0P 1084685-50-6P 1084685-64-2P 1084685-75-5P 1084685-86-8P 1084685-97-1P 1084686-09-8P 1084686-20-3P 1084686-34-9P 1084686-45-2P 1084686-56-5P 1084686-69-0P 1084686-80-5P 1084686-91-8P 1084687-02-4P 1084687-13-7P 1084687-25-1P 1084687-37-5P 1084687-48-8P 1084687-58-0P 1084687-70-6P 1084687-84-2P 1084687-94-4P 1084688-03-8P 1084689-14-1P 1084688-26-5P 1084688-37-8P 1034688-49-2P 1084688-59-4P 1084688-70-9P 1084688-84-5P 1084688-94-7P 1084689-06-4P 1084689-13-3P 1084689-24-6P 1084689-34-8P 1084689-46-2P 1084689-57-5P 1084689-69-9P 1084689-82-6P 1084689-92-8P 1084690-04-9P 1084690-16-3P 1084690-27-6P 1084690-33-4P 1084690-42-5P 1084690-53-8P 1084690-64-1P 1084690-78-7P 1084690-89-0P 1084690-99-2P

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1084691-11-1P 1084691-23-5P 1084691-34-8P
1084691-45-1P 1084691-56-4P 1084691-67-7P
1084691-79-1P 1084691-92-8P 1084692-02-3P
1084692-15-8P 1084692-26-1P 1084692-39-6P
1084692-48-7P 1084692-59-0P 1084692-71-6P
1034692-82-9F 1084692-95-4F 1084693-07-1F
1034693-17-3F 1084693-28-6P 1084693-42-4P
1034693-61-7P 1084694-31-4P 1084694-42-7P
1084694-48-3P 1084694-54-1P 1084694-64-3P
1084694-75-6P 1084694-85-8P 1084694-96-1P
1084695-11-3P 1084695-28-2P 1084695-42-0P
1084695-59-9P 1084695-77-1P 1084695-91-9P
1084696-01-4P 1084696-14-9P 1084696-25-2P
1084696-38-7P 1084696-50-3P 1084696-64-9P
1084696-76-3P 1084696-86-5P 1084696-96-7P
1084697-09-5P 1084697-19-7P 1084697-30-2P
1084697-42-6F 1084697-54-0F 1084697-65-3P
1034697-77-7P 1084697-91-5P 1084698-03-2P
1084698-13-4P 1084698-24-7P 1084698-37-2P
1084698-45-2P 1084698-55-4P 1084698-77-0P
1084698-91-8P 1084699-02-4P 1084699-13-7P
1084699-23-9P 1084699-33-1P 1084699-44-4P
1084699-54-6P 1084699-64-8P 1034699-70-6P
1084699-80-8P 1084699-90-0P 1084700-01-5P
1084700-12-8F 1084700-24-2F 1084700-33-3F
1084700-46-8P 1084700-57-1P 1084700-70-8P
1084700-81-1F 1084700-93-5F 1084701-05-2F
1084701-21-2P 1084701-39-2P 1084701-57-4P
1084701-75-6P 1084701-87-0P 1084701-96-1P
1084702-09-9P 1084702-20-4P 1084702-31-7P
1084702-37-3P 1084702-47-5P 1084702-57-7P
1084702-69-1P 1084702-80-6P 1084702-91-9P
1084702-99-7P 1084703-06-9P 1084703-16-1P
1084703-21-8P 1084703-28-5P 1084703-38-7P
1084703-46-7P 1084703-54-7P 1084703-66-1P
1084703-73-0P 1084703-81-0P 1084703-93-4P
1084704-00-6P 1084704-06-2P 1084704-19-7P
1084704-25-5P 1084704-36-8P 1084704-49-3P
1084704-56-2P 1084704-63-1P 1084704-77-7P
1084704-82-4P 1084704-90-4P 1084705-00-9P
1084705-06-5P 1084705-14-5P 1084705-24-7P
1084705-32-7P 1084705-45-2P 1084705-56-5P
1084705-69-0P 1084705-74-7P 1084705-86-1P
1084705-98-5P 1084706-09-1P 1084706-21-7P
1084706-33-1P 1084706-45-5P 1084706-56-8P
1084706-67-1P 1084706-78-4P 1084706-90-0P
1084707-00-59
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (preparation of 2-amino-4-phenyl-4, 5-dihydro-5H-1, 3-thiazine derivs. and
   related compds. as inhibitors of proteinase BACE-1 and production of
   amyloid \beta protein for treatment of Alzheimer's disease)
1084685-38-0 CAPLUS
INDEX NAME NOT YET ASSIGNED
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RN CN

RN 1084685-50-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084685-64-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084685-75-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084685-86-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084685-97-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084686-09-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084686-20-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084686-34-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084686-45-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084686-56-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084686-69-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084686-80-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084686-91-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084687-02-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084687-13-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084687-25-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084687-37-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084687-48-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084687-58-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084687-70-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084687-84-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084687-94-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084688-03-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084688-14-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084688-26-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084688-37-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084688-49-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084688-59-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084688-70-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084688-84-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084688-94-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-06-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-13-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-24-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-34-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-46-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Ph 
$$Me$$
 $NH-CH-CH_2-OH$ 

RN 1084689-57-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-69-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084689-82-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084689-92-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084690-04-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084690-16-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084690-27-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084690-33-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084690-42-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084690-53-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084690-64-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084690-78-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO 
$$\stackrel{\text{HO}-\text{CH}_2}{\searrow}$$
  $\stackrel{\text{NH}-\text{C}-\text{C}-\text{NHPh}}{\searrow}$   $\stackrel{\text{NH}-\text{CH}-\text{C}-\text{NHMe}}{\searrow}$ 

RN 1084690-89-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084690-99-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084691-11-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084691-23-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084691-34-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084691-45-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084691-56-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084691-67-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084691-79-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084691-92-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084692-02-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084692-15-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084692-26-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084692-39-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084692-48-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084692-59-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084692-71-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084692-82-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084692-95-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084693-07-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084693-17-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084693-28-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084693-42-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084693-61-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084694-31-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 1084694-42-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084694-48-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084694-54-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084694-64-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084694-75-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084694-85-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084694-96-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084695-11-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084695-28-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084695-42-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084695-59-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084695-77-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084695-91-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-01-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-14-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-25-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-38-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084696-50-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084696-64-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-76-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-86-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084696-96-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084697-09-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{HO} & \text{CH}_2 \\ & \text{NH} & \text{C} & \text{C} \\ & \text{NH} & \text{C} & \text{CH} & \text{Pr-i} \end{array}$$

RN 1084697-19-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084697-30-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084697-42-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084697-54-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084697-65-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084697-77-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084697-91-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084698-03-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084698-13-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084698-24-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084698-37-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084698-45-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084698-55-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084698-77-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084698-91-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-02-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-13-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084699-23-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-33-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084699-44-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-54-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-64-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-70-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084699-90-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084700-01-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084700-12-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084700-24-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084700-33-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084700-46-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084700-57-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084700-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084700-81-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084700-93-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084701-05-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084701-21-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084701-39-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084701-57-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084701-87-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084701-96-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084702-09-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084702-20-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084702-31-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084702-37-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084702-47-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084702-57-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084702-69-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084702-80-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084702-91-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084702-99-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084703-06-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084703-16-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084703-21-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084703-28-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 1084703-38-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084703-46-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084703-54-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} \text{Me} & \text{NH} & \text{O} & \text{O} \\ \text{NH} & \text{NH} & \text{C} & \text{C} & \text{NHPh} \\ \end{array}$$

RN 1084703-66-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084703-73-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084703-81-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{NH} \\$$

RN 1084703-93-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084704-00-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084704-06-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084704-19-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084704-25-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084704-36-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Ph} & \text{Me} \\ \text{NH} & \text{NH} & \text{C} & \text{C} \\ \text{NHPh} \end{array}$$

RN 1084704-49-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084704-56-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084704-63-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084704-77-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084704-82-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084704-90-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084705-00-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084705-06-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084705-14-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{NH} \\$$

RN 1084705-24-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084705-32-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084705-45-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084705-56-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084705-69-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084705-74-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084705-86-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

RN 1084706-09-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084706-21-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084706-33-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084706-45-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084706-56-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1084706-67-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1084706-78-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084706-90-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1084707-00-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1466046 CAPLUS Full-text

DOCUMENT NUMBER: 149:576565
TITLE: Preparation of

2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine

derivatives and related compounds for treatment of

Alzheimer's disease

INVENTOR(S): Kobayashi, Naotake; Ueda, Kazuo; Itoh, Naohiro;

Suzuki, Shinji; Sakaguchi, Gaku; Kato, Akira; Yukimasa, Akira; Hori, Akihiro; Kooriyama, Yuji; Haraguchi, Hidekazu; Yasui, Ken; Kanda, Yasuhiko

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan SOURCE: PCT Int. Appl., No pp. given

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

GΙ

PAT	PATENT NO.					D	DATE		APPLICATION NO.						DATE			
WO	2008133273				A1 20081106			WO 2008-XB57842										
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	BW,	GH,	GM,	ΚE,	LS,	$ ext{MW}$ ,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	
		PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	
		ML,	MR,	ΝE,	SN,	TD,	ΤG											
PRIORITY	Z APP	LN.	INFO	.:						JP 2	007-	7-114764			A 20070424			

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; ring A = each (un)substituted carbocyclic or heterocyclic ring group; E = a bond, Alk1, O-Alk1, S-Alk1, N(R0)-Alk1; Alk1 = lower alkylene or alkenylene; R0 = H, lower alkyl, acyl; X = S, O, NR1; R1 = H, lower alkyl; R2a, R2b = H, HO, each (un)substituted lower alkyl, lower alkenyl, NH2, amidino, acyl, CONH2, carbamoylcarbonyl, lower alkylsulfonyl, arylsulfonyl, or heterocyclyl; R3a, R3b, R4a, R4b = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, acyl, lower alkoxycarbonyl, NH2, CONH2, carbocyclyl, or heterocyclyl, CO2H; n, m = an integer of 0-3, provided that n+m = 1-3; R5 = H, each lower alkyl, lower alkenyl, lower alkynyl, carbocyclyl, or heterocyclyl], pharmaceutically acceptable salts thereof, or hydrates thereof were prepared These compds. inhibit proteinase BACE-1 and the production of amyloid β protein and are useful for treatment of diseases induced by the production, secretion, or deposition of amyloid β protein, in particular Alzheimer's disease. Thus, addition reaction of N-(3-acetyl-5-

bromophenyl)-2,2,2- trifluoroacetamide with vinylmagnesium chloride in THF/Et20 in a dry ice-acetone bath for 20 min, under ice cooling for 30 min, and at room temperature for 35 min gave an allyl alc. (II) which under went condensation reaction with thiourea in 1 M HCl/EtOAc solution at room temperature for 69 h and at  $40^{\circ}$  for 45 h to give an isothiourea (III). Cyclization of III in the presence of CF3SO3 in CF3CO2H at room temperature for 3.5 h gave an 2-amino-4-phenyl-4, 5-dihydro-5H-1, 3-thiazine (IV; R = H, R1 = CF3CO) which underwent N-protection with di(tert-butyl) dicarbonate in the presence of Et3N in THF under ice-cooling for 2 h and at room temperature for 3 h to give IV (R = Boc, R1 = CF3CO). IV (R = Boc, R1 = CF3CO) was treated with a mixture of 1 M NaOH aqueous solution and THF at  $50^{\circ}$  for 4 h and then with 4 M HC1/1, 4-dioxane solution to give IV.2HCl (R = R1 = H). The compound (V) at 10 mg/kg p.o. in vivo lowered amyloid  $\beta$  protein by 50.1% in rat brain after 3 h. [This abstract record is one of 4 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

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    1082753-69-2P 1082753-75-0P 1082753-82-9P
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1082768-11-3P 1082768-18-0P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (preparation of 2-amino-4-phenyl-4, 5-dihydro-5H-1, 3-thiazine derivs. and
   related compds. as inhibitors of proteinase BACE-1 and production of
   amyloid \beta protein for treatment of Alzheimer's disease)
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RN 1082752-96-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN

CN

1082753-02-3 CAPLUS INDEX NAME NOT YET ASSIGNED

RN 1082753-08-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082753-14-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-20-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-26-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-32-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082753-38-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-44-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082753-51-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082753-57-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082753-63-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-69-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-75-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-82-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082753-88-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082753-94-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082754-00-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082754-06-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082754-12-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082754-18-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082754-24-2 CAPLUS

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RN 1082754-36-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082754-42-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082754-48-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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RN 1082754-60-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

RN 1082755-71-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082755-77-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO—CH2—CH2—NH
$$S \longrightarrow N$$

$$CH_{2}$$

$$O \longrightarrow O$$

$$NH$$

$$NH$$

$$C$$

$$NHPh$$

RN 1082755-85-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082755-91-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082755-97-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082756-03-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082756-09-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082756-15-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082756-21-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082756-27-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082756-33-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082756-39-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082756-45-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082756-51-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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RN 1082756-63-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082756-69-1 CAPLUS

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RN 1082756-75-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082756-81-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

RN 1082757-11-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082757-18-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082757-24-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

HO— 
$$CH2$$
—  $CH2$ —  $NH$ 
 $CH2$ —  $OH$ 
 $NH$ —  $C$ —  $C$ —  $NHPh$ 

RN 1082757-30-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082757-36-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082757-42-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082757-48-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082757-54-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082757-60-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082757-66-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082757-72-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082757-78-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082757-87-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082757-94-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082758-00-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082758-06-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082758-12-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082758-18-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $C$ — $CH_2$ — $NH$ 
 $S$ 
 $N$ 
 $CH_2$ — $OH$ 
 $NH$ — $C$ — $C$ — $NHPh$ 

RN 1082758-24-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
 $C$ 
 $CH_2$ 
 $NH$ 
 $NH$ 
 $C$ 
 $NH$ 
 $NH$ 

RN

$$H_2N$$
— $C$ — $CH_2$ — $NH$ 
 $S$ 
 $N$ 
 $NH$ — $C$ — $C$ — $NHPh$ 

RN 1082758-36-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $C$ — $CH_2$ — $NH$ 
 $Me$ 
 $H_0$ — $CH_2$ 
 $NH$ — $C$ — $C$ — $NHPh$ 

1082758-42-6 CAPLUS INDEX NAME NOT YET ASSIGNED

$$H_2N$$
 $CH_2$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 

RN 1082758-48-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

1082758-61-9 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

$$H_2N$$
— $CH_2$ — $NH$ 
 $Me$ 
 $NH$ — $C$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 

1082758-70-0 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

1082758-73-3 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

$$H_2N$$
 $CH_2$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 

RN 1082758-85-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082758-94-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082758-97-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
  $CH_2$   $NH$   $NH$   $NH$   $C$   $NH$   $NH$ 

RN 1082759-09-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082759-18-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082759-21-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $C$ — $CH_2$ — $NH$ 
 $S$ 
 $N$ 
 $CH_2$ — $OH$ 
 $NH$ — $C$ — $C$ — $NHPR$ 

RN 1082759-33-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082759-42-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082759-45-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082759-57-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082759-66-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082759-69-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $CH_2$ — $NH$ 
 $Ph$ 
 $Me$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 

RN 1082759-78-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082759-81-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $CH_2$ — $NH$ 
 $Ph$ 
 $NH$ 
 $NH$ 

RN 1082759-90-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082759-93-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082760-02-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082760-05-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $CH_2$ — $NH$ 
 $Ph$ 
 $Me$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 

RN 1082760-14-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082760-17-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082760-26-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082760-29-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
  $CH_2$   $NH$   $CH_2$   $OH$   $NH$   $C$   $C$   $NHPh$ 

RN 1082760-39-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082760-44-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082760-57-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082760-61-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082760-73-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
— $C$ — $CH_2$ — $NH$ 
 $S$ 
 $N$ 
 $CH_2$ — $OH$ 
 $NH$ — $C$ — $C$ — $NHPh$ 

1082760-86-8 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

$$\begin{array}{c} \text{O} \quad \text{CH}_2\text{-Ph} \\ \text{H}_2\text{N-C-CH-NH} \\ \text{Me} \\ \end{array}$$

1082760-93-7 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

RN 1082760-99-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082761-11-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082761-17-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082761-23-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$H_2N$$
  $CH_2$   $Ph$ 
 $H_2N$   $CH_2$   $NH$ 
 $Me$ 
 $Me$ 
 $CH_2$   $OH$ 
 $CH_2$   $OH$ 
 $NH$   $CH_2$   $OH$ 

RN 1082761-35-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082761-41-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082761-47-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082761-53-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082761-59-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} O & CH_2-Ph \\ H_2N-C-CH-NH \\ \end{array}$$

$$\begin{array}{c} O & CH_2-Ph \\ CH-NH \\ \end{array}$$

$$\begin{array}{c} O & CH_2-Ph \\ CH-NH \\ \end{array}$$

$$\begin{array}{c} O & CH_2-Ph \\ \end{array}$$

$$\begin{array}{c} O & CH_$$

RN 1082761-65-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082761-71-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082761-77-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082761-83-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082761-89-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082761-95-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} O & CH_2-Ph \\ H_2N-C-CH-NH \\ & \\ Ph & \\ & \\ HO & \\ CH_2-OH \\ & \\ NH-C-C-NHPh \\ \end{array}$$

RN 1082762-01-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082762-07-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-13-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-19-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082762-25-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082762-31-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-37-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

1082762-49-9 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

RN 1082762-55-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-61-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-73-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-79-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082762-85-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO—
$$CH_2$$
— $CH$ — $NH$ 
 $Me$ 
 $HO$ — $CH_2$ 
 $NH$ — $C$ — $CH$ 
 $NH$ — $C$ — $C$ — $NH$ 
 $NH$ 

RN 1082762-97-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082763-03-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO—
$$CH_2$$
— $CH$ — $NH$ 
 $Me$ 
 $CH_2$ — $OH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 
 $NH$ 

RN 1082763-09-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082763-15-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082763-21-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082763-27-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082763-39-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082763-50-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

HO—
$$CH_2$$
— $CH$ — $NH$ 

$$Me$$

$$CH_2$$
— $CH$ — $NH$ 

$$CH_2$$
— $OH$ 

$$NH$$
— $C$ — $C$ — $NHPh$ 

RN 1082763-62-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082763-73-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082763-84-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082763-90-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082763-96-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082764-02-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082764-08-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082764-14-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082764-20-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

HO—
$$CH_2$$
— $CH$ — $NH$ 

$$Ph$$

$$CH_2$$
— $OH$ 

$$NH$$

$$NH$$

$$CH_2$$

$$NHPh$$

RN 1082764-26-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

1082764-38-2 CAPLUS RNINDEX NAME NOT YET ASSIGNED CN

1082764-44-0 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

1082764-50-8 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

1082764-62-2 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

RN 1082764-68-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

1082764-74-6 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

RN 1082764-86-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082764-92-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082764-98-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-10-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-16-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082765-22-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-34-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082765-40-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082765-46-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-52-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-58-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082765-64-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082765-70-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-76-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-82-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-88-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082765-97-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082766-02-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082766-11-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-18-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-29-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-36-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082766-47-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-54-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-63-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-68-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-75-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-80-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN

RN 1082766-92-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082766-99-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082767-04-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082767-16-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082767-23-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082767-28-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082767-35-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082767-38-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082767-44-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082767-58-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082767-76-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082767-94-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082768-11-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-18-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CN

INDEX NAME NOT YET ASSIGNED

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1082768-24-8F 1082768-31-7P 1082768-37-3F
ΙΤ
     1082768-43-1P 1082768-49-7P 1082768-55-5P
     1032768-61-3F 1082768-67-9P 1082768-75-9P
     1082768-81-7P 1082768-87-3P 1082768-93-1P
     1032768-99-7P 1082769-05-8P 1082769-11-6P
     1082769-17-2F 1082769-23-0F 1082769-29-6F
     1082769-37-6P 1082769-44-5P 1082769-50-3P
     1082769-56-9P 1082769-62-7P 1082769-68-3P
     1082769-74-1P 1082769-30-9P 1032769-86-5P
     1082769-92-3P 1082769-98-9P 1032770-09-9P
     1082770-21-5P 1082770-33-9P 1082770-45-3P
     1082770-57-7P 1082770-69-1P 1082770-80-6P
     1082770-86-2F 1082770-92-0F 1082770-98-6P
     1082771-04-7P 1082771-10-5P 1082771-16-1P
     1082771-22-9P 1082771-28-5P 1082771-34-3P
     1082771-40-1P 1082771-46-7P 1082771-57-0P
     1082771-69-4P 1082771-82-1P 1082771-94-5P
     1082772-06-2P 1082772-18-6P 1082772-30-2P
     1082772-43-7P 1082772-64-2P 1082772-72-2P
     1082772-80-2P 1082772-92-6P 1082773-00-9P
     1082773-08-7P 1082773-21-4P 1082773-31-6P
     1082773-39-4P 1082773-52-1P 1082773-59-8P
     1082773-67-8P 1082773-75-8P 1082773-79-2P
     1082773-83-8P 1082773-92-9P 1082773-99-6P
     1082774-05-7P 1082774-11-5P 1082774-15-9P
     1082774-19-3P 1082774-25-1P 1082774-33-1P
     1082774-41-1P 1082774-53-5P 1082774-61-5P
     1082774-73-9P 1082774-92-2P 1082775-05-0P
     1082775-26-5P 1082775-44-7P 1082775-62-9P
     1082775-74-3P 1082775-92-5P 1082776-10-0P
     1082776-28-0P 1082776-46-2P 1082776-64-4P
     1082776-82-6P 1082777-00-1P 1082777-16-9P
     1082777-28-3F 1082777-40-9F 1082777-52-3P
     RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (preparation of 2-amino-4-phenyl-4, 5-dihydro-5H-1, 3-thiazine derivs. and
        related compds. as inhibitors of proteinase BACE-1 and production of
        amyloid \beta protein for treatment of Alzheimer's disease)
RN
     1082768-24-8 CAPLUS
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RN 1082768-31-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-37-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-43-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-49-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-55-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-61-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082768-67-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN

1082768-81-7 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

1082768-87-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082768-93-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

1082769-05-8 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

1082769-11-6 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

RN 1082769-17-2 CAPLUS INDEX NAME NOT YET ASSIGNED CN

RN 1082769-29-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082769-37-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082769-44-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082769-56-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} - \bigvee_{\text{Ho-CH}_2}^{\text{O}} \text{NH} \\ & & \text{NH-C-C-NHPh} \end{array}$$

RN 1082769-62-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082769-68-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082769-74-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082769-80-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082769-86-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082769-92-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082769-98-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-09-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-21-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-33-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-45-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-57-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-69-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-80-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082770-86-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082770-92-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082770-98-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} - \bigvee_{S}^{O} \text{NH} \\ \text{Me} \\ \\ \text{Ph} \end{array} \begin{array}{c} \text{NH} \\ \text{CH2-OH} \\ \text{NH} - \bigvee_{S}^{O} \text{NHPh} \end{array}$$

1082771-10-5 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

1082771-16-1 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

RN 1082771-22-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082771-34-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082771-40-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082771-46-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082771-69-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082771-82-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082771-94-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082772-06-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \longrightarrow \\ \text{NH} \longrightarrow \\ \text{Ph} \longrightarrow \\ \text{Ph} \longrightarrow \\ \text{CH}_2 - \text{OH} \longrightarrow \\ \text{NH} \longrightarrow \\ \text{NH} \longrightarrow \\ \text{NHPh} \longrightarrow \longrightarrow$$
 NHPh} \longrightarrow \\ \text{NHPh} \longrightarrow \\ \text{NHPh} \longrightarrow \\ \text{NHPh} \longrightarrow \longrightarrow \\ \text{NHPh} \longrightarrow \longrightarrow N

RN 1082772-18-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082772-30-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082772-43-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082772-64-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082772-72-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082772-80-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082772-92-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-00-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082773-08-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082773-21-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-31-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-39-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082773-52-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082773-59-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-67-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-75-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-79-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-83-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082773-92-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082773-99-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-05-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-11-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-15-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-19-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-25-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-33-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{NH2} \\ \text{NH} \\ \text{NH} \\ \text{CH2} \\ \text{OH} \end{array}$$

RN 1082774-41-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082774-53-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082774-61-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082774-73-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082774-92-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082775-05-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082775-26-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082775-44-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082775-62-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082775-74-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082775-92-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082776-10-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082776-28-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1082776-46-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082776-64-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082776-82-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082777-00-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1082777-16-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$Me_2N-CH_2-CH_2-NH$$

$$S \longrightarrow N$$

$$NH-C-C-NHPh$$

RN 1082777-28-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \circ & \circ \\ \text{H}_2\text{N} & \stackrel{\circ}{\text{C}} & \stackrel{\circ}{\text{C}} & \text{NH} \\ & \circ & & \\ \text{NH} & \stackrel{\circ}{\text{C}} & \stackrel{\circ}{\text{C}} & \text{NHPh} \\ \end{array}$$

RN 1082777-40-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1082777-52-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1451089 CAPLUS Full-text

DOCUMENT NUMBER: 150:15438

TITLE: Heterooligonuclear Group VIII metal complexes of

nitrogen polydentate aromatic heterocyclic ligands as

APPLICATION NO.

DATE

high-activity photocatalysts

INVENTOR(S): Auth, Matthias; Rau, Sven

KIND

PATENT ASSIGNEE(S): Friedrich-Schiller-Universitaet Jena, Germany

DATE

SOURCE: Ger. Offen., 22pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

DE 102007025424 Α1 20081204 DE 2007-102007025424 20070530 PRIORITY APPLN. INFO.: DE 2007-102007025424 20070530 The title complexes [(MxLC)yM1z]n(A)m (1, M = chromophore moiety, LC = collector ligand, M1 = catalytic moiety, A = anion, n, m, x, y, z = 1-3), designed as multi-electron transfer photocatalysts, preferably bi- and trinuclear platinum-group metal complexes [[(L1)nM2]2( $\mu$ -L2)M3X2(L3)m][A]k [2, L1, L3 = (un) substituted 2,2'-bipyridine, 1,10-phenanthroline and similar ligands; L2 = tetra- or hexadentate bridging aromatic (poly)heterocyclic ligands; n = 2, m = 0, M2 = Ru, M3 = Pt, X = halo, A = PF6] were prepared by complexation of metal M2 compound with an appropriate ligands L1 and bridging precursors L4R (preferably, R = B(OH)2, halo), followed by coupling of the complexes [(L1)nM2(L4)][A]1 with bifunctional bridge unit L5X2 [X = B(OH)2,halo; L4-L5-L4 = L2]. In an example, complexation of [(tBu-bpy)2RuCl2] with 5-chloro-1,10-phenanthroline gave [(tBu-bpy)2Ru(5-Cl-phen)][PF6]2, which upon Suzuki coupling with 4,4'-diborono-2,2'-bipyridine in 2:1 mol. ratio gave the complex [(tBu-bpy)2Ru(µ-5-phen-4,4'-C5H4N-2,2'-C5H4N-5- phen)Ru(tBubpy)][PF6]4 (1a). The complex 1a was reacted with K2PtC14, affording [(tBubpy)  $2Ru(\mu 3-5-phen-4, 4'-C5H4N-2, 2'-C5H4N-5-phen) PtC12Ru(tBu-bpy)]$  (1b), with platinum moiety complexed to the central bipyridine chelate site. The compds. serve for the use as high-activity photo catalysts.

IT 1037339-88-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of platinum-group metal heterooligonuclear complexes with chelating and bridging 2,2'-bipyridine and 1,10-phenanthroline ligands as multielectron transfer photocatalysts)

RN 1087339-88-5 CAPLUS

CN Ruthenium(4+), tetrakis[4,4'-bis(1,1-dimethylethyl)-2,2'-bipyridine-  $\kappa$ N1, $\kappa$ N1'][ $\mu$ -[N1,N2-bis[4-(1,10-phenanthrolin-5-yl-  $\kappa$ N1, $\kappa$ N10)phenyl]ethanediamide]]di-, hexafluorophosphate(1-) (1:4) (CA INDEX NAME)

CM 1

CRN 1087339-87-4

CMF C110 H120 N14 O2 Ru2

CCI CCS

CM 2

CRN 16919-18-9

CMF F6 P CCI CCS

ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1359735 CAPLUS Full-text

DOCUMENT NUMBER: 149:556617

Aryl ureas as modulators of amyloid beta and their TITLE:

preparation and use in the treatment of

 $A\beta$ -associated diseases

Cheng, Soan; Comer, Daniel D.; Mao, Long; Pleynet, INVENTOR(S):

David; Yu, Chengzhi

TorreyPines Therapeutics, Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 247pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

AΒ

P.	PATENT NO.					D	DATE		APPLICATION NO.						DATE			
	WO 2008137102				A2		20081113		WO 2008-US5719					20080501				
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DΖ,	EC,	EE,	EG,	ES,	
		FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	
		KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NΑ,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
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		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM								
PRIORI	PRIORITY APPLN. INFO.:					US 2007-916						9162	246P P 20070504					
OTHER GI	OTHER SOURCE(S):					MARPAT 149:556617												

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{F}}{\longrightarrow} \stackrel{\text{O}}{\longrightarrow} \stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{Bu-i}}{\longrightarrow} \stackrel{\text{II}}{\longrightarrow} \stackrel{\text{Bu-i}}{\longrightarrow} \stackrel{\text{II}}{\longrightarrow} \stackrel{\text{Bu-i}}{\longrightarrow} \stackrel{\text{II}}{\longrightarrow} \stackrel{\text{Bu-i}}{\longrightarrow} \stackrel{\text{II}}{\longrightarrow} \stackrel{\text{Bu-i}}{\longrightarrow} \stackrel{\text{II}}{\longrightarrow} \stackrel{\text{Bu-i}}{\longrightarrow} \stackrel{\text{II}}{\longrightarrow} \stackrel{\text{II$$

The invention discloses, compds. of formula I that are useful for a variety of therapeutic applications, e.g., for modulating amyloid-beta levels.

Accordingly, invention compds. find use in the treatment of a variety of diseases. Compns. and kits comprising invention compds. are also provided. In one aspect of the invention, there are provided compds. of formula I which have activity in modulating levels of amyloid-beta. As a result, such compds. are applicable for treating diseases associated with aberrant levels of  $A\beta$ and/or any condition in which modulation of Aeta levels provides a therapeutic effect. Compds. of formula I wherein A and B are independently (un) substituted (hetero) arylene; C is (un) substituted (hetero) aryl and (un)substituted fused benzocyclohexyl; X is O, S and N-CN; Za and Zb are independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un) substituted alkenyl, (un) substituted alkynyl, etc.; La is covalent bond, (un) substituted methylene, O, S, NH and derivs. SO, and SO2; Lb is covalent bond, C=C, C.tplbond.C, O, OCH2, NH and derivs. N=N, CO, CONH and derivs., etc.; ' and their pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their beta-amyloid modulatory activity. From the assay, it was determined that compound II exhibited IC50 value of < 200 nM.

IT 1079169-90-6P 1079169-96-2P 1079169-98-4P 1079170-01-6P 1079173-74-2P 1079173-75-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl ureas as  $\beta\text{--amyloid}$  modulators useful in the treatment of  $\beta\text{--amyloid--associated}$  diseases)

RN 1079169-90-6 CAPLUS

Urea, N-[[3-methoxy-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]-N'-(2,4,5trimethylphenyl)- (CA INDEX NAME)

CN

RN

1079169-96-2 CAPLUS

CN Urea, N-(2,5-dimethylphenyl)-N'-[[3-fluoro-4-(4-methyl-lH-imidazol-1-yl)phenyl]methyl]- (CA INDEX NAME)

RN 1079169-98-4 CAPLUS

CN Urea, N-[[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]-N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)

RN 1079170-01-6 CAPLUS

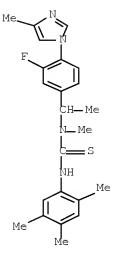
CN Urea, N-[4-(diethylamino)-2-methylphenyl]-N'-[[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]- (CA INDEX NAME)

RN 1079173-74-2 CAPLUS

CN Thiourea, N-[1-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]=N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)

RN 1079173-75-3 CAPLUS

CN Thiourea, N-[1-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]=N-methyl-N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)



L3 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1329944 CAPLUS Full-text

DOCUMENT NUMBER: 149:570067

TITLE: 1,3-Dialkyl-8-(hetero)aryl-9-OH-9-deazaxanthines as

potent A2B adenosine receptor antagonists: Design,

synthesis, structure-affinity and structure-selectivity relationships

AUTHOR(S): Stefanachi, Angela; Nicolotti, Orazio; Leonetti,

Francesco; Cellamare, Saverio; Campagna, Francesco;

Loza, Maria Isabel; Brea, Jose Manuel; Mazza, Fernando; Gavuzzo, Enrico; Carotti, Angelo

CORPORATE SOURCE: Dipartimento Farmaco-chimico, Universita degli Studi

di Bari, Bari, I-70125, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(22),

9780-9789

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB A number of 1,3-dialkyl-8-(hetero)aryl-9-OH-9-deazaxanthines were prepared and evaluated as ligands of recombinant human adenosine receptors (hARs). Several 1,3-di-Pr derivs. endowed with nanomolar binding affinity at hA2B receptors, but poor selectivity over hA2A, hA1 and hA3 AR subtypes were identified. A comparison with the corresponding 7-OH- and 7,9-unsubstituted-deazaxanthines revealed that 9-OH-9-deazaxanthines are more potent hA2B ligands with lower partition coeffs. and higher water solubility compared to the other two congeneric classes of deazaxanthines. An optimization of the para-substituent of the 8-Ph ring of 9-OH-9-deazaxanthines led to the discovery of compound 38, which exhibited outstanding hA2B affinity (Ki = 1.0 nM), good selectivity over hA2A, hA1 and hA3 (selectivity indexes = 100, 79 and 1290, resp.) and excellent antagonist potency in a functional assay on rat A2B (pA2B = 9.33).

IT 1084328-44-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(dialkyl (hetero)aryl hydroxy deazaxanthines as A2B adenosine receptor antagonists)

RN 1084328-44-8 CAPLUS

REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1221331 CAPLUS Full-text

TITLE: Synthesis and antibacterial activity of

4-benzoyl-1-(4-carboxyphenyl)-5-phenyl-1H-pyrazole-3-

carboxylic acid and derivatives

AUTHOR(S): Bildirici, Ishak; Sener, Ahmet; Atalan, Ekrem; Battal,

Abdulhamit; Genc, Hasan

CORPORATE SOURCE: Organic Chemistry Division, Chemistry Department,

Faculty of Arts and Sciences, Yuzuncu Yil University,

Van, 65080, Turk.

SOURCE: Medicinal Chemistry Research No pp. yet given

CODEN: MCREEB; ISSN: 1054-2523

PUBLISHER: Birkhaeuser Boston

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A new 1H-pyrazole-3-carboxylic acid I, along with hydrazono-pyridazinone II, a byproduct, and its derivs., e.g., diesters and diamides of I and pyrazolopyridazines III (R = H, Me, Ph, 4-carboxyphenyl), were synthesized and the structures confirmed by IR and 1H and 13C NMR data. These new compds. were evaluated for their antibacterial activities against Gram-pos. and Gram-neg. bacteria using the tube dilution method. The minimal inhibitory concentration expts. revealed that most compds. exerted inhibitor effects against Klebsiella pneumonia, Escherichia coli, Bacillus subtilis, and Xanthomonas compestris test microorganisms. Moreover, the results showed that III were the best compds. of the series, exhibiting antibacterial activity against both Gram-pos. and Gram-neg. bacteria.

IT 1083091-51-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of

4-benzoyl-1-(4-carboxyphenyl)-5-phenyl-1H-pyrazole-3-carboxylic acid and derivs.)

RN 1083091-51-3 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 4-benzoyl-5-phenyl-N-[(phenylamino)carbonyl]-1-

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:757237 CAPLUS Full-text

TITLE: Synthesis and in vitro antitumor activity of some

fused pyrazole and pyrazoline ring systems

AUTHOR(S): Al-Saadi, Mohammed S. M.

CORPORATE SOURCE: Division of Medicinal Chemistry, Faculty of Medicine,

King Abdul-Aziz University, Jeddah, 21589, Saudi

Arabia

SOURCE: Saudi Pharmaceutical Journal (2008), 16(2), 135-145

CODEN: SPJOEM; ISSN: 1319-0164

PUBLISHER: Saudi Pharmaceutical Society

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

$$N_{N}$$
  $p-C_{6}H_{4}Me$ 

Fused-ring pyrazole and pyrazoline derivs. with biol.-active functional groups were prepared and selected by the NCI for in-vitro antitumor screening. Six compds. I (e.g., R1 = SO2NH2, R2R3 = bond; R1 = SO2NHCONHPh, R2 = R3 = H, etc.) successfully passed through the primary 3-cell line assay and were promoted for the full panel 60-cell line assay. These active compds. exhibited broad spectra of antitumor activity against most of the tested tumor cell lines. Compound I [R1 = SO2NHCONH(c-C6H11), R2R3 = bond] proved to be the most active antitumor agent in the present study with GI50, TGI and LC50 MG-MID values of 8.12, 25.7 and 69.2  $\mu\text{M}$ , resp., with high sensitivity towards some leukemia, melanoma and renal cell lines. The other five active compds. showed variable degrees of appreciable antitumor activity (GI50 and TGI MG-MID values range 14.1-19.5 and 38.0-53.7  $\mu\text{M}$ , resp.).

IT 1135219-50-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
or reagent)

(preparation and antitumor activity of fused pyrazole and pyrazoline derivs.)

RN 1135219-50-9 CAPLUS

CN Benzenesulfonamide, 4-[3a,4-dihydro-3-(4-methylphenyl)indeno[1,2-c]pyrazol-2(3H)-yl]-N-[(phenylamino)thioxomethyl]- (CA INDEX NAME)

IT 1135219-35-0P 1135241-72-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antitumor activity of fused pyrazole and pyrazoline derivs.)

RN 1135219-35-0 CAPLUS

CN Benzenesulfonamide, 4-[3a, 4-dihydro-3-(4-methylphenyl)indeno[1, 2-c]pyrazol-2(3H)-yl]-N-[(phenylamino)carbonyl]- (CA INDEX NAME)

RN 1135241-72-3 CAPLUS

CN Benzenesulfonamide, 4-[3-(4-methylphenyl)indeno[1,2-c]pyrazol-2(4H)-yl]-N- [(phenylamino)carbonyl]- (CA INDEX NAME)

20

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:577925 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 150:121028

TITLE: Synthesis, crystal structure and configuration of

resorcinarene amides Han, Jun; Yan, Chao-Guo

CORPORATE SOURCE: College of Chemistry and Chemical Engineering,

Yangzhou University, Yangzhou, 225002, Peop. Rep.

China

SOURCE: Journal of Inclusion Phenomena and Macrocyclic

Chemistry (2008), 61(1-2), 119-126 CODEN: JIPCF5; ISSN: 1388-3127

PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Multi-functional carbamoyloxyl groups were introduced on the outer periphery of tetraaryl and tetraferrocenyl resorcinarenes by two practical synthetic procedures. The first one is direct alkylation of phenolic hydroxyl groups of resorcinarenes with N,N-dialkyl- $\alpha$ -chloroacetamide in the system of K2C03/KI/acetone. The second one is aminolysis of ester derivs. of resorcinarenes with excess amine such as dimethylamine and butylamine. Determined by the single crystal structures these resorcinarene amides usually show rctt (cis-trans-trans) and rccc (all-cis) configuration. The electrochem, properties of ferrocenyl resorcinarenes amides were also studied by cyclic voltammetry.

IT 1100052-99-0P

AUTHOR(S):

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; synthesis, crystal structure and configuration of resorcinarene amides)

RN 1100052-99-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

PAGE 3-A

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 29 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1366359 CAPLUS Full-text

DOCUMENT NUMBER: 149:545397

TITLE:  $2-(2-\{3-[4-(Dimethylamino)phenyl]-1,2,4-oxadiazol-5-$ 

yl}phenoxy)-N-(2,6-dimethylphenyl)acetamide

Zeng, Hai-Su; Wang, Hai-Bo; Kang, Si-Shun; Li, Hai-Lin AUTHOR(S):

CORPORATE SOURCE: College of Science, Nanjing University of Technology,

Nanjing, 210009, Peop. Rep. China

SOURCE: Acta Crystallographica, Section E: Structure Reports

Online (2007), E63(12), o4745, o4745/1-o4745/8

CODEN: ACSEBH; ISSN: 1600-5368

URL: http://journals.iucr.org/e/issues/2007/12/00/hb26

06/hb2606.pdf

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:545397

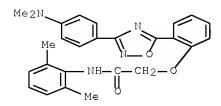
In  $2-(2-\{3-[4-(dimethylamino)pheny1]-1, 2, 4-oxadiazol-5-yl\}phenoxy)-N-(2, 6-index)$ dimethylphenyl)acetamide, C26H26N4O3, a bifurcated intramol. N-H...(O,N) H bond helps to establish the mol. conformation. The dihedral angles between the oxadiazole ring and the adjacent benzene rings are  $14.10\,(19)$  and  $17.90\,(18)^{\circ}$  for the central benzene ring and the (dimethylamino)phenyl ring, resp. Crystallog. data are given.

IT 1078712-79-4P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal and mol. structure of)

RN 1078712-79-4 CAPLUS

CN Acetamide, 2-[2-[3-[4-(dimethylamino)phenyl]-1,2,4-oxadiazol-5-yl]phenoxy]-N-(2,6-dimethylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:555466 CAPLUS Full-text

DOCUMENT NUMBER: 137:125096

TITLE: Preparation of phenyl derivatives containing

inhibitors of coagulation factor for prophylaxis

and/or therapy of thromboembolic disorders

INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis,

Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes,

Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2002057236	A1 2002072	WO 2001-EP14296	20011205			
W: AE, AG, AL	, AM, AT, AU, AZ	BA, BB, BG, BR, BY, BZ	, CA, CH, CN,			
CO, CR, CU	, CZ, DE, DK, DM	DZ, EC, EE, ES, FI, GB	, GD, GE, GH,			
GM, HR, HU	, ID, IL, IN, IS	JP, KE, KG, KP, KR, KZ	, LC, LK, LR,			
LS, LT, LU	, LV, MA, MD, MG	MK, MN, MW, MX, MZ, NO	, NZ, PH, PL,			
PT, RO, RU	, SD, SE, SG, SI	SK, SL, TJ, TM, TR, TT	, TZ, UA, UG,			
US, UZ, VN	, YU, ZA, ZW					
RW: GH, GM, KE	, LS, MW, MZ, SD	SL, SZ, TZ, UG, ZM, ZW	, AT, BE, CH,			
CY, DE, DE	, ES, FI, FR, GB	GR, IE, IT, LU, MC, NL	, PT, SE, TR,			
BF, BJ, CF	, CG, CI, CM, GA	GN, GQ, GW, ML, MR, NE	, SN, TD, TG			
DE 10102322	A1 2002072.	DE 2001-10102322	20010119			
CA 2434937	A1 2002072.	CA 2001-2434937	20011205			
AU 2002227993	A1 2002073	AU 2002-227993	20011205			
AU 2002227993	B2 2007080	)				
EP 1351938	A1 2003101	EP 2001-989580	20011205			

EP	13519	938			В1	4	2007	0411									
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		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
BR .	20010	1680	) 4		A	2	2004	0217	E	3R 2	2001-	1680	4		2	0011	205
CN	15185	541			Α	2	2004	0804	C	CN 2	2001-	8230	61		2	0011	205
JP .	20045	53536	52		T	2	2004	1125	Ū	TP 2	2002-	5579	17		2	0011	205
JP	41803	375			В2	4	2008	1112									
HU .	20050	0001	10		A2	2	2005	0628	H	IU 2	2005-	110			2	0011	205
AT .	3592	71			T	2	2007	0515	P	AT 2	2001-	9895	80		2	0011	205
ES .	2284	718			Т3	4	2007	1116	E	S 2	2001-	9895	80		2	0011	205
MX	20030	00648	33		Α	2	2003	0922	M	1X 2	2003-	6483			2	0030	718
IN .	2003E	KN01(	33		Α	2	2006	0602	]	N 2	2003-	KN10	33		2	0030	813
ZA .	20030	00642	19		A	2	2004	1118	7	ZA 2	2003-	6419			2	0030	818
US .	20040	00875	82		A1	2	2004	0506	Ţ	JS 2	2003-	4666	80		2	0031	218
US	72738	367			В2	4	2007	0925									
PRIORITY	APPI	LN.	INFO	.:					Ι	E 2	001-	1010	2322	Z	A 2	0010	119
									V	10 2	2001-	EP14	296	Ī	√ 2	0011	205

OTHER SOURCE(S): MARPAT 137:125096

AB Novel compds. of the formula R1R2C6H3-W-X-Y-T in which W, X, Y, T, R1 and R2 are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic disorders. Thus, 3-(5-methyl-1,2,4-oxadiazol-3-yl)phenol wa reacted with Et 2-bromovalerate, sodium hydroxide, thionyl chloride, 4-morpholin-4-ylaniline, followed a hydrogenation in acetic acid to give 2-(3-amidinophenoxy)-N-(4-morpholin-4-ylphenyl)valeramide acetate, showing IC50=3x10-7 M and IC50=4.9x10-7 M.

IT 1101046-62-1 1101046-64-3

RL: PRPH (Prophetic)

(Preparation of phenyl derivatives containing inhibitors of coagulation factor for prophylaxis and/or therapy of thromboembolic disorders)

RN 1101046-62-1 CAPLUS

CN Acetic acid, 2-[5-[[2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-2-phenylacetyl]amino]-2-(2-oxo-1-piperidinyl)phenoxy]-, ethyl ester (CA INDEX NAME)

RN 1101046-64-3 CAPLUS

CN Acetic acid, 2-[5-[[2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-2-phenylacetyl]amino]-2-(2-oxo-1-piperidinyl)phenoxy]- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:391685 CAPLUS Full-text

DOCUMENT NUMBER: 136:385945
TITLE: Preparation of

ureidomethylbenzoylaminodifluoropropionates as

glucagon antagonists/inverse agonists.
Jorgensen, Anker Steen; Madsen, Peter

INVENTOR(S): Jorgensen, Anker Steen; Marker Assignee(S): Novo Nordisk A/S, Den.

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den. SOURCE: PCT Int. Appl., 85 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.							APPLICATION NO.							DATE			
WO	2002	0404	46		A1	_	2002	0523		WO	2001	 L-DK	76	0			 20011	115
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BE	в, во	3, E	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕC	C, EE	Ξ, Ε	s,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE	Ε, Κ	3, K	P,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	J, MV	√, M	ΙΧ,	MΖ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SI	, T	J, I	Μ,	TR,	TT,	TZ,	UA,	UG,
		UZ,	VN,	YU,	ZA,	ZW												
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AU	2002	0235	02		A		2002	0527		AU	2002	2-23	502	2		2	20011	115
EP	1345	891			A1		2003	0924		EΡ	2001	1-99	652	29		2	20011	115
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٦, I	Γ, Ι	ıI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	J, TE	₹						
	2004																20011	115
US	2003									US	2001	L <b>-</b> 99	598	87		2	20011	116
US	6821	960			В2		2004	1123										
US	2005	0059	611		A1		2005	0317		US	2004	1-96	328	89		2	20041	012
PRIORIT	Y APP	LN.	INFO	.:						DK	2000	)-17	33			A 2	20001	117
											2000						20001	120
										WO	2001	l-DK	76	0		W 2	20011	115
										US	2001	L <b>-</b> 99	598	87		A3 2	20011	116
OBUIDD OF	~	<i>(</i> ~ <i>)</i>			1 ( T T)		100	2050	4 -									

OTHER SOURCE(S): MARPAT 136:385945

HO2CCF2CH2NHCOZCHR2N(E)XD [R2 = H, alkyl; Z = (substituted) arylene, heteroarylene; X = (CH2)q(CR12R13)r(CH2)s, CO(CR12R13)r(CH2)s, NR11CO(CR12R13)r(CH2)s, etc.; r = 0, 1; s = 0-3; R11, R12, R13 = H, alkyl; D = (substituted) Ph, naphthyl, pyridyl, indenyl, benzothienyl, thienyl, furyl, benzofuryl, etc.; E = (substituted) cyclohexyl, Ph, PhCH2, PhCH2CH2, indanyl, benzhydryl, etc.], were prepared Thus, Me 4-[(4-cyclohex-1enylphenylamino)methyl]benzoate (preparation given) in CH2C12 containing diisopropylethylamine was treated with 3,5-dichlorophenyl isocyanate to give a residue which was saponified with LiOH. The resulting acid in DMF was treated with 3-[(dimethyliminium)(dimethylamino)methyl]- 1,2,3-benzotriazol-1-ium-1olate hexafluorophosphate, diisopropylethylamine, Me 3-amino-2,2difluoropropionate hydrochloride to give the uncharacterized amide ester, which was saponified with aqueous LiOH in THF/MeOH to give 3-[4-[1-(4cyclohex-1-enylphenyl)-3-(3,5- dichlorophenyl)ureidomethyl]benzoylamino]-2,2difluoropropionic acid. In a human glucagon receptor binding assay, title compds. showed IC50<1000 nM.

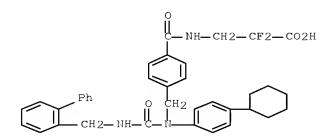
IT 1102410-84-3 1102412-17-8

RL: PRPH (Prophetic)

(Preparation of ureidomethylbenzoylaminodifluoropropionates as glucagon antagonists/inverse agonists.)

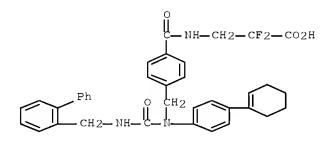
RN 1102410-84-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1102412-17-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:221217 CAPLUS Full-text

DOCUMENT NUMBER: 136:247349

TITLE: Preparation of amino(oxo)acetic acid derivatives as

protein tyrosine phosphatase inhibitors

INVENTOR(S): Liu, Gang; Li, Yihong; Janowick, David A.; Pei,

Zhonghua

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

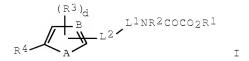
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 20020035136	A1	20020321	US 2001-934765		20010822
US 6627767	В2	20030930			
PRIORITY APPLN. INFO.:			US 2000-228656P	P	20000829

OTHER SOURCE(S): MARPAT 136:247349

GΙ



The title compds. I [A = NH, O, S, N:CH, CH:CH; B = N, CH; d = 0-2; L1 = bond, O; L2 = CHR6, CH2CHR6; R1 = H, carboxy protecting group; R2 = H, aminoalkyl, alkyl, cycloalkyl, etc.; R3 = H, alkoxy, alkoxyalkenyl, carboxy, etc.; R4 = H, alkoxy, aryl, heteroaryl, etc.], protein tyrosine kinase PTP1B inhibitors, were prepared. E.g., N-benzyl-2-hydroxy-N-((4,1'-binaphth-1-yl)methyl)amino(oxo)acetic acid was prepared I may be used for treatment of type II diabetes or obesity.

IT 1098592-11-0

RL: PRPH (Prophetic)

(Preparation of amino(oxo)acetic acid derivatives as protein tyrosine phosphatase inhibitors)

RN 1098592-11-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

L3 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:171839 CAPLUS Full-text

DOCUMENT NUMBER: 136:232060

TITLE: Preparation of amino(oxo)acetic acid protein tyrosine

phosphatase inhibitors

INVENTOR(S): Liu, Gang; Li, Yihong; Janowick, David A.; Pei,

Zhonghua

PATENT ASSIGNEE(S): Abbott Laboratories, USA SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018321	A2	20020307	WO 2001-US26133	20010821

WO 2002018321 A3 20030410

W: CA, JP, MX

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, TR

PRIORITY APPLN. INFO.: US 2000-650923 A 20000829

OTHER SOURCE(S): MARPAT 136:232060

GΙ

$$\mathbb{R}^{4} = \mathbb{R}^{(\mathbb{R}^{3})} \mathbb{P}^{\mathbb{R}^{2}} \mathbb{L}^{2} \mathbb{L}^{1} \mathbb{R}^{\mathbb{N}^{2}} \mathbb{R}^{0}$$

AΒ Title compds. I [A = N(H), O, S, N=C(H), C(H)=C(H), etc.; B = N, C(H); withthe proviso that when A is N=C(H) or C(H)=C(H), B is C(H); p=O-2; L1 = bond, O; L2 = CHR6, CH2CHR6; R1 = H, carboxy protecting group; R2 = H, aminoalkyl, cycloalkyl(alkyl), cycloalkenyl(alkyl), (hetero)aryl, heterocycle, etc.; R3 = H, alkoxy, alkoxyalk(en)yl, alkoxyalkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkoxycarbonylalkenyl, alkoxycarbonylalkoxy, aryl, arylalkyl, arylalkenyl, arylalkoxy, carboxamido, carboxamidoalkyl, etc.; R4 = H, alkoxy, loweralkoxy, alkoxycarbonylalkyl, alkoxycarbonylalkenyl, aryl, arylalkyl, arylalkoxy, arylthioxyalkyl, carboxamidoalkenyl, carboxamidoalkyl, carboxyalkyl, carboxylalkenyl, heteroaryl, etc.; with the proviso that at when R4 = H, at least one of R3 is other than H; R6 = H, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, etc.] were prepared Over 70 synthetic examples were provided. For instance, 4-bromophenylacetonitrile was alkylated with cyclohexyl bromide (DMF/benzene, NaH, 0°C) to give (4-bromophenyl)(cyclohexyl)acetonitrile which was subsequently reduced to the amine (PhMe, DIBAL-H  $\rightarrow$  BH3 $^{\circ}$ THF), the amine acylated with Et oxalyl chloride (CH2Cl2, 0°C) and saponified to give II. Example compds. were found to inhibit protein tyrosine phosphatase PTP1B with inhibitory potencies in a range of about of about 3  $\mu M$  to about 100  $\mu M$ . I are used for the treatment of type II diabetes and obesity.

IT 1098592-11-0

RL: PRPH (Prophetic)

(Preparation of amino(oxo)acetic acid protein tyrosine phosphatase inhibitors)

RN 1098592-11-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:72061 CAPLUS  $\underline{Full-text}$ 

DOCUMENT NUMBER: 136:118465

TITLE: Preparation of 2-aryldihydroxypyrimidine-4-carboxylic

acids as hepatitis C viral polymerase inhibitors

INVENTOR(S): Gardelli, Cristina; Giuliano, Claudio; Harper, Steven;

Koch, Uwe; Narjes, Frank; Ontoria Ontoria, Jesus
Maria; Poma, Marco; Ponzi, Simona; Stansfield, Ian;

Summa, Vincenzo

PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P.

Angeletti S.p.A., Italy

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE A1 20020124				APPLICATION NO.						DATE 			
WO	2002	0062	46		A1	_	2002	0124		 WO 2	001-	EP 79.	 55		2	0010	711	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
		UZ,	VN,	YU,	ZA,	ZW												
	RW:	GH,	GM,	ΚE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
CA	2418	288			A1		2002	0124		CA 2	001-	2418	288		2	0010	711	
EP	1309	566			A1		2003	0514		<b>E</b> P 2	001-	9516	64		2	0010	711	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	ΑL,	TR							
JP	2004	5043	04		T		2004	0212		JP 2	002-	5121	50		2	0010	711	
AU	2001	2725	30		В2		2006	0803		AU 2	001-	2725.	30		2	0010	711	
US	2004						2004	0603		US 2	003-	3334	31		2	0030	709	
US	7091	209			В2		2006	0815										
PRIORIT	Y APP	LN.	INFO	.:						GB 2	000-	1767	6	1	A 2	0000	719	
										WO 2	001-	EP 79	55	1	₩ 2	0010	711	

OTHER SOURCE(S): MARPAT 136:118465

AB RR1 (R1 = 4-carboxy-5,6-dihydroxy-2-pyrimidiny1)[I; R = (un)substituted (hetero)ary1] were prepared Thus, 2-(O2N)C6H4C(:NOH)NH2 (preparation given) N-was alkenylated by MeO2CC.tplbond.CCO2Me and the product cyclized to give,

after reduction, N-acylation, and saponification, I [R = 2-(2-C1C6H4CH2NHCONH)C6H4]. Data for biol. activity of I were given.

IT 1102364-00-0

RL: PRPH (Prophetic)

(Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

RN 1102364-00-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:10432 CAPLUS Full-text

DOCUMENT NUMBER: 136:85669

TITLE: Preparation of (e.g.) N-alkylaryl-N-aryl-N'-aryl ureas

as glucagon antagonists/inverse agonists

INVENTOR(S): Jorgensen, Anker Steen; Christensen, Inge Thoger;

Kodra, Janos Tibor; Madsen, Peter; Behrens, Carsten;

Sams, Christian; Lau, Jesper

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den. SOURCE: PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT	NO.			KIN	D	D DATE APPLICATION NO.								D	ATE	
WO	2002	0006	 12		A1	_	2002	0103		WO 2	001-	DK43	 5		2	0010	 621
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,
		ZA,	ZW														
	R₩̃:	GH,	GM,	KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
CA	2411	552			A1		2002	0103	1	CA 2	001-	2411	552		2	0010	621
BR	2001	0119	8 0		Α		2003	0401		BR 2	001-	1190	8		20010621		
EP	1296	942			A1		2003	0402		EP 2	001-	9431	89		2	0010	621
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
HU	2003	0015	01		A2		2003	0828		HU 2	003-	1501			2	0010	621

JP 2004501 <b>8</b> 97	T	20040122	JP	2002-505360		20010621
US 20020143186	A1	20021003	US	2001-888137		20010622
US 6562807	B2	20030513				
ZA 2002009713	A	20030911	ZA	2002-9713		20021129
MX 2002012273	A	20030425	MX	2002-12273		20021211
NO 2002006149	A	20030221	NO	2002-6149		20021220
IN 2002CN02119	A	20050225	IN	2002-CN2119		20021220
US 20040024045	A1	20040205	US	2003-372536		20030224
US 6953 <b>8</b> 12	B2	20051011				
PRIORITY APPLN. INFO.:			DK	2000-984	A	20000623
			DK	2000-1734	A	20001117
			US	2000-215059P	P	20000629
			US	2000-252320P	P	20001120
			WO	2001-DK435	W	20010621
			US	2001-888137	A1	20010622

OTHER SOURCE(S): MARPAT 136:85669

GΙ

$$HO \longrightarrow H \longrightarrow H \longrightarrow OMe$$

$$CF_3 \qquad II$$

AΒ Title compds. R1OC(0) - A - CR2R3 - N(R4) - C(0) - Z - CHR5 - N(E) - X - D [R1 - 5] = H, alkyl; A = CR2R3 - N(R4) - C(0) - A - CR2R3 - N(R4) - C(0) - CHR5 - N(E) - X - D [R1 - 5] = H, alkyl; A = CR2R3 - N(R4) - C(0) - A - CR2R3 - N(R4) -C(O), CH-alkoxy, CHF; Z = (un)substituted arylene or a divalent radical derived from a 5 or 6 membered heteroarom. ring containing 1 or 2 heteroatoms selected from N, O and S; X = alkyl, acyl, amido, etc.; D = (un)substituted Ph, naphthyl, pyridyl, benzothiophenyl, etc.; E = (un)substituted cyclohexyl, Ph, benzyl, phenethyl, etc.; I] were prepared Examples include data for 73 compds., two glucagon receptor binding assays and a glucose-dependent insulinotropic peptide (GIP) receptor binding assay. E.g., 4cyclohexylaniline was reductively alkylated with 4-formyl benzoic acid Me ester (MeOH, HOAc, NaCNBH3) in 87% yield. The amine was added to an isocyanate derived from 5-methoxy-3-trifluoromethylaniline (preparation given; CH2Cl2, room temperature) to give a urea as an oil that was saponified (EtOH, NaOH, room temperature, 16 h) to give the solid carboxylic acid in 49% yield. The carboxylic acid was coupled to (R)-isoserine Et ester (DMF, HOBt, EDAC) followed by hydrolysis to give example compound II as a crystalline solid. a glucagon receptor binding assay, compds. of the invention had IC50 < 1500 nM and many were below 250 nM. I are useful in the treatment or prevention of any diseases wherein a glucagon antagonistic action is beneficial, such as hyperglycemia, type 1 diabetes, type 2 diabetes, disorders of lipid metabolism and obesity.

IT 1098966-88-1 1098967-53-3 1098968-10-5 1098968-11-6 1098968-12-7 1098968-20-7 1098968-70-7 1098968-71-8 1098968-72-9 1098969-14-2 1098969-15-3 1098969-16-4

1098969-18-6 1098969-19-7 1098969-20-0 1098969-21-1 1098969-22-2 1098969-23-3 1098969-24-4 1098969-26-6 1098969-27-7 1098969-28-8 1098969-62-0 1098970-63-8 1098970-65-0

RL: PRPH (Prophetic)

(Preparation of (e.g.) N-alkylaryl-N-aryl-N'-aryl ureas as glucagon antagonists/inverse agonists)

RN 1098966-88-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098967-53-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098968-10-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098968-11-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098968-12-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098968-20-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098968-70-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098968-71-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN

Absolute stereochemistry.

RN 1098969-14-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-15-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098969-16-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-18-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-19-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098969-20-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-21-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-22-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098969-23-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-24-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-26-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-27-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1098969-28-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN

Absolute stereochemistry.

RN 1098970-63-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098970-65-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:824211 CAPLUS Full-text DOCUMENT NUMBER: 134:4764

TITLE: Preparation of 3-(benzoylamino)propionic acid

INVENTOR(S):

derivatives as glucagon antagonists/inverse agonists Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry Kenneth; Lau, Jesper; Madsen, Peter; Sams, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thoger; Lundt, Behrend Frederik; Sidelmann, Ulla Grove; Thogersen, Henning

PATENT ASSIGNEE(S): SOURCE:

Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc. PCT Int. Appl., 564 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	AT)	ENT 1	NO.			KIN		DATE		1	APP	LICAT	ION	NO.		Ε	ATE	
WC	) :	2000	0698:	10		A1		2000	1123	Ī	wo	2000 <b>-</b>	DK26	4		2	0000	 516
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BY,	CA,	CH,	CN,	CR,
			CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ	, GB,	GD,	GE,	GH,	GM,	HR,	HU,
			ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR	, KZ,	LC,	LK,	LR,	LS,	LT,	LU,
			LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ИО	, NZ,	PL,	PT,	RO,	RU,	SD,	SE,
			SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ	, UA,	UG,	UΖ,	VN,	YU,	ZA,	zw
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	AT,	BE,	CH,	CY,	DE,
			DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE	, SN,	TD,	TG				
US	5 (	6503	949			В1		2000	0516	1	US	2000-	5725	53		2	0000	516
CZ	<i>A</i> :	2373	892			A1		2000	1123	(	CA	2000-	2373	892		2	0000	516
E	)	1183	229			A1		2002	0306	]	EΡ	2000-	9267	25		2	0000	516
EI	2	1183				В1		2005										
		R:							FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO										
			0106			A		2002				2000-					0000	
			00103			A2		2002	-	]	HU	2002-	1033			2	0000	516
			00103			A3		2003										
			5442	54		Т		2002				2000-					0000	
		3077				Т		2005				2000-					0000	
		2250				Т3		2006				2000-		25			0000	
			0085			A		2002				2001-					0011	
			0056			A		2002				2001-					0011	
			0117			A		2002				2001-					0011	
			0220	350		A1		2003		1	US	2002-	2338	51		2	0020	830
		6875				В2		2005										
			0203			A1		2005	0915			2004-		99			0041	
PRIORI	I. A	APP.	LN.	TNF.O	.:							1999-					9990	
												2000-					0000	
												1999-			_		9990	
												2000-					0000	
												2000-					0000	
												2000-					0000	
OTHER (	201	.100=	( C )			1 4 7 T	7 m	124	1761		US	2002-	Z338.	ΣI	4	A3 2	0020	830
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GΙ

$$V^{A}_{Y}$$
  $Z$   $X^{D}$   $Y^{CH_2}$   $Y^{CH_2}$ 

The title compds. [I; V = CO2R2, CONR2R3, CONR2OR3, etc. (wherein R2, R3 = H, AΒ alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0 - 1)1; n = 0-3; R7 = H, alkyl, (cycloalkyl)alkyl; R8, R9 = H, alkyl); Y = CO, SO2, O, a bond; Z = (un) substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms selected from N, O and S; or AYZ together = II; R1 = H, alkyl; X = CO(CR13R14)r(CH2)s, SO2(CR13R14)r(CH2)s, CO2(CR13R14)r(CH2)s, etc. (r = 0-1; s = 0-3; R13, R14 = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un) substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.] which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

IT 1106000-91-2 1106000-92-3 1106000-93-4 1106000-94-5 1106000-95-6 1106000-96-7 1106000-97-8 1106000-98-9 1106000-99-0 1106001-00-6 1106001-01-7 1106001-03-9 1106001-06-2 1106001-07-3

RL: PRPH (Prophetic)

(Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists)

RN 1106000-91-2 CAPLUS

CN Benzamide, 4-[[[4-(1-cyclohexen-1-yl)phenyl][[[[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl-(CA INDEX NAME)

RN 1106000-92-3 CAPLUS

CN Benzamide, 4-[[[4-(1-cyclohexen-1-yl)phenyl][[[[3'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl-(CA INDEX NAME)

RN 1106000-93-4 CAPLUS

CN Benzamide, 4-[[[4-(1-cyclohexen-1-yl)phenyl][[[[2'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl-(CA INDEX NAME)

RN 1106000-94-5 CAPLUS

CN Benzamide, 4-[[[4-(1-cyclohexen-1-yl)phenyl][[[1-[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106000-95-6 CAPLUS

CN Benzamide, 4-[[[[(1-[1,1'-biphenyl]-2-ylethyl)amino]carbonyl][4-(1-cyclohexen-1-yl)phenyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106000-96-7 CAPLUS

CN Benzamide, 4-[[(4-cyclohexylphenyl)[[[[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106000-97-8 CAPLUS

CN Benzamide, 4-[[(4-cyclohexylphenyl)[[[[3'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl-(CA INDEX NAME)

RN 1106000-98-9 CAPLUS

CN Benzamide, 4-[[(4-cyclohexylphenyl)[[[[2'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl-(CA INDEX NAME)

RN 1106000-99-0 CAPLUS

CN Benzamide, 4-[[[[(1-[1,1'-biphenyl]-2-ylethyl)amino]carbonyl](4-cyclohexylphenyl)amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106001-00-6 CAPLUS

CN Benzamide, 4-[[(4-cyclohexylphenyl)[[[1-[3'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CAINDEX NAME)

RN 1106001-01-7 CAPLUS

CN Benzamide, 4-[[[4-(1-cyclohexen-1-yl)phenyl][[[1-[3'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106001-03-9 CAPLUS

CN Benzamide, 4-[[[4-(1-cyclohexen-1-yl)phenyl][[[1-[2'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106001-06-2 CAPLUS

CN Benzamide, 4-[[(4-cyclohexylphenyl)[[[1-[3'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

RN 1106001-07-3 CAPLUS

CN Benzamide, 4-[[(4-cyclohexylphenyl)[[[1-[2'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:421114 CAPLUS Full-text

DOCUMENT NUMBER: 133:58803

TITLE: Preparation of 2-arylindole- or

-benzimidazolecarboxamidines and analogs as serine

protease inhibitors

INVENTOR(S): Allen, Darin Arthur; Hataye, Jason M.; Hruzewicz,

Witold N.; Kolesnikov, Aleksandr; Mackman, Richard Laurence; Rai, Roopa; Spencer, Jeffrey R.; Verner,

Erik J.; Young, Wendy B.

PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035886	A2	20000622	WO 1999-US30302	19991217
WO 2000035886	A3	20001026		
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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

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DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                20000622
                                          CA 1999-2355249
                                                                    19991217
     CA 2355249
                          Α1
                                            EP 1999-968917
     EP 1140859
                          A2
                                 20011010
                                                                    19991217
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             BR 1999-16363
     BR 9916363
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                                 20011211
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                                 20020729
                                            HU 2001-4987
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     HU 2001004987
                          А3
                                 20020930
                                            EE 2001-323
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                                                                    19991217
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                          Τ
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     TR 200102533
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     NO 2001002980
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                                20010801
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     MX 2001006070
                                20010911
                                            MX 2001-6070
                                                                    20010615
                          Α
     US 6867200
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                                20050315
                                            US 2002-868276
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PRIORITY APPLN. INFO.:
                                             US 1998-113007P
                                                                 P 19981218
                                             WO 1999-US30302
                                                                 W 19991217
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MARPAT 133:58803 OTHER SOURCE(S):

GΙ

IT

R1Z1Z2R2 [I; R1 = H2NC(:NH), etc.; R2 = halo, OH, CO2H, phenyl(alkyl)oxy, AΒ etc.; Z1 = (un)substituted indolylene, -benzimidazolylene, etc.; Z2 = (un) substituted phenylene, pyridinediyl, etc.] were prepared Thus, 1-(3bromo-2-hydroxy-5-methylphenyl)-3-(4-nitrophenyl)-1-propanone was condensed with 4-(H2NHN)C6H4C(:NH)NH2 and the product cyclized to give, after reduction, title compound II. Data for biol. activity of I were given. 1100597-09-8

Ι

RL: PRPH (Prophetic)

(Preparation of 2-arylindole- or -benzimidazolecarboxamidines and analogs as serine protease inhibitors)

RN1100597-09-8 CAPLUS

CN Benzenepropanamide, 3-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-N-1,3benzodioxol-5-yl-5-bromo-4-hydroxy- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:384159 CAPLUS Full-text

DOCUMENT NUMBER: 133:30670

TITLE: Preparation of substituted

benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX

antagonists

INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard;

Bernotat-Danielowski, Sabine; Melzer, Guido; Raddatz, Peter; Wu, Zhengdong; Dhanoa, Daljit; Soll, Richard;

Rinker, James; Graybill, Todd

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 278 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

							APPLICATION NO.										
WO	2000	0325	77		A2		2000	0608	,		1999-					9991	109
WO	2000									ъ.		Dir	~ 7	011	ONT	017	0.5
	W:										, BR,						
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		•		•	•	•	•	•	•		, SD,	SE,	SG,	SI,	SK,	SL,	TJ,
		•			•						, ZW						
	RW:										, UG,						
											, MC,			SE,	BF,	ВJ,	CF,
		CG,									, SN,						
	2352										1999-						
BR	9915	648			A		2001	0814		BR	1999-	1564	8		1	9991	109
EP	1144	381			A2		2001	1017		ΕP	1999-	9687	83		1	9991	109
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FΙ,	RO										
HU	2001	0045	20		<b>A</b> 2		2002	0429		HU	2001-	4520			1	9991	109
HU	2001	0045	20		<b>A</b> 3		2002	1028									
JP	2002	5372	25		$\mathbf{T}$		2002	1105		JΡ	2000-	5852	19		1	9991	109
AU	7601	36			В2		2003	0508		AU	2000-	2660	3		1	9991	109
$\mathbf{T}W$	4734	74			В		2002	0121		TW	1999-	8812	0540		1	9991	124
	2001									NO	2001-	2544			2	0010.	523
MX	2001	0052	27		Α		2001	1203		MΧ	2001-	5227			2	0010	524
ZA	2001	0051	91		A		2002	1213		ZA	2001-	5191			2	0010	622
IN	2001	KN00	647		А		2005	0311		IN	2001-	KN64	7		2	0010	626
PRIORIT	Y APP	LN.	INFO	. :						US	1998-	1994	13		A 1	9981	125
			•								1999-				_	9990	
											1999-						
									_	-					_		

OTHER SOURCE(S): MARPAT 133:30670

The title compds. [I; R = H, NO2; R1 = Het, -HetSO2Ar, NO2, etc.; R2 = Ar, Het1, -Het1Ar, etc.; Ar = Ph, biphenyl, pyridyl, etc.; Het, Het1 = (un)substituted (un)saturated mono-, bi- or tricyclic 5-13 membered heterocyclyl], useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders, were prepared and formulated. E.g., preparation of II was given. Compds. I are effective at 0.02-10 mg/kg/day. IT 1098870-36-0 1098870-39-3 1098870-40-6

1098870-41-7 1098870-43-9 1098870-49-5 1098871-00-1 1098871-06-7 1098871-07-8 1098871-12-5 1098871-23-8 1098871-27-2 1098871-35-2 1098871-38-5 1098871-39-6 1098871-40-9 1098871-44-3 1098871-47-6 1098871-53-4 1098871-59-0 1098871-84-1 1098871-85-2 1098871-88-5 1098871-92-1 1098871-94-3 1098871-96-5 1098871-99-8 1098872-08-2 1098872-09-3 1098872-13-9 1098872-14-0 1098872-15-1 1098872-16-2 1098872-22-0 1098872-58-2 1098872-60-6 1098872-63-9 1098872-67-3 1098872-71-9 1098872-72-0 1098872-73-1 1098872-76-4 1098872-79-7 1098872-81-1 1098872-84-4 1098872-85-5 1098872-86-6 1098872-88-8 1098872-89-9 1098872-90-2 1098872-91-3 1098873-01-8 1098873-03-0 1098873-07-4 1098873-13-2 1098873-19-8 1098873-21-2 1098873-22-3 1098873-23-4 1098873-24-5 1098873-29-0 1098873-31-4 1098873-32-5 1098873-33-6 1098873-37-0 1098873-40-5 1098873-41-6 1098873-42-7 1098873-43-8 1098873-44-9 1098873-45-0 1098873-47-2 1098873-62-1 1098873-63-2 1098873-64-3 1098873-67-6 1098873-68-7 1098873-69-8 1098873-73-4 1098873-74-5 1098873-75-6 1098873-78-9 1098873-79-0 1098874-02-2 1098874-04-4 1098874-28-2 1098874-29-3 1098874-30-6 1098874-31-7 1098874-32-8 1098874-34-0 1098874-41-9 1098874-60-2 1098874-64-6 1098875-23-0 1098876-44-8 1098876-45-9 1098876-46-0 1098876-47-1

RL: PRPH (Prophetic)

(Preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists)

RN 1098870-36-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

PAGE 1-B

RN 1098870-39-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1098870-40-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1098870-41-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098870-43-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

C1 NH—C 
$$CH_2$$
  $CH_2$   $CH_2$ 

RN 1098870-49-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-00-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{MeO} \\ \text{NH-} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \\ \text{H}_2 \\ \text{N-} \\ \text{CH}_2 \\ \end{array}$$

RN 1098871-06-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-07-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-12-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{MeO} \\ \\ \text{NH} \\ \\ \text{CH}_2 \\ \\ \text{$$

RN 1098871-23-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-27-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098871-35-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{NH} - \overset{\circ}{\text{C}} - \text{CH}_2 - \text{CH}_2 \\ \text{NH} - \overset{\circ}{\text{C}} + \text{CH}_2 \\ \text{NH} - \overset{\circ}{\text{C}} + \text{CH}_2 \\ \text{NH} \end{array}$$

RN 1098871-38-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098871-39-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098871-40-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098871-44-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-47-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-53-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

MeO NH— 
$$CH_2$$
  $CH_2$   $CH_2$   $OH_2$   $OH_2$ 

RN 1098871-59-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-84-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$C1$$
 $NH$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 
 $CH_2$ 

RN 1098871-85-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-88-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098871-92-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

i-Pr 
$$_{\rm NH-C-CH_2-CH_2-CH_2}$$
  $_{\rm NH-C-CH_2-CH_2-CH_2}$   $_{\rm NH-CH_2}$ 

RN 1098871-94-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098871-96-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098871-99-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{MeO} \\ \text{NH-C-CH}_2\text{-CH}_2 \\ \text{NH-CH}_2 \\ \text{H}_2\text{N-CH}_2 \end{array}$$

RN 1098872-08-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-09-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-13-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-14-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-15-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Br 
$$NH$$
  $CH_2$   $CH_2$ 

RN 1098872-16-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-22-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-58-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-60-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-63-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-67-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-79-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-81-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-84-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-86-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-89-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-90-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098872-91-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098873-01-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Br 
$$NH$$
  $C$   $CH_2$   $CH$ 

RN 1098873-03-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-07-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Et}_{2N} \\ \text{NH} \\ \begin{array}{c} \text{CH}_{2} \\ \text{CH}_{2} \end{array} \\ \text{CH}_{2} \\ \\ \text{H}_{2N} \\ \text{CH}_{2} \end{array}$$

RN 1098873-13-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-19-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-21-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098873-23-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-24-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-29-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-31-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-32-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-33-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-37-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098873-40-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098873-41-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098873-42-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-43-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

PAGE 2-A

RN 1098873-44-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098873-45-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098873-47-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-62-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-63-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-64-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-67-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

H2N-CH2

RN 1098873-68-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-69-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-73-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-74-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-75-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098873-78-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$-CH_2$$
 $CH_2-NH-C-NH_2$ 

RN 1098873-79-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

RN 1098874-04-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098874-28-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098874-29-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098874-30-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098874-31-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098874-32-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098874-34-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098874-41-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098874-60-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098874-64-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

 ${\rm I\!I}_{\rm N\,H}$ 

RN 1098875-23-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098876-44-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

RN 1098876-45-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

$$h_2N-CH_2$$

PAGE 2-A

PAGE 1-A

RN 1098876-47-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098876-48-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098876-51-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098876-53-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098876-55-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

## PAGE 1-A

PAGE 1-A

RN 1098876-58-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1098876-61-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

1098876-65-3 CAPLUS RNINDEX NAME NOT YET ASSIGNED CN

C1 
$$\sim$$
 CH2 CH2  $\sim$  NH  $\sim$  CH2 CH2  $\sim$  NH  $\sim$  $\sim$ 

RN 1098877-14-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

RN 1098877-69-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1098878-43-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098878-47-7 CAPLUS

$$\begin{array}{c} \text{MeO} & \begin{array}{c} \text{C1} \\ \text{NH} \\ \end{array} \\ \text{CH}_2 \\ \text{CH}_2 \end{array}$$

RN 1098878-54-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098878-58-0 CAPLUS

RN 1098878-66-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098879-01-6 CAPLUS

RN 1098879-02-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

MeO 
$$\stackrel{F}{\longrightarrow}$$
 NH—  $\stackrel{O}{\longleftarrow}$  CH<sub>2</sub>— CH<sub>2</sub>—  $\stackrel{O}{\longrightarrow}$  O  $\stackrel{NH}{\longrightarrow}$  NH—  $\stackrel{O}{\longleftarrow}$  CH<sub>2</sub>) 3— NH

RN 1098879-51-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

$$H_2N-C-NH-CH_2$$

RN 1098879-52-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

$$H_2N-C-NH-CH_2$$

RN 1098879-61-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098879-67-4 CAPLUS

Me NH CH<sub>2</sub> CH<sub>2</sub> CH<sub>2</sub> 
$$\sim$$
 NH CH<sub>2</sub>  $\sim$  NH

RN 1098879-75-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098879-76-5 CAPLUS

RN 1098879-77-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098879-80-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098879-84-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098879-94-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098879-95-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098880-19-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PhO NH—CH<sub>2</sub>—CH<sub>2</sub>—CH<sub>2</sub>—CH<sub>2</sub>

$$NH$$
 $H_2N$ — $NH$ — $(CH_2)_5$ — $NH$ 

RN 1098880-45-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A

PAGE 2-A

RN 1098880-51-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1098880-52-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 2-A

RN 1098880-53-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098880-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS 5 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN 2000:286882 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 132:308340

TITLE: Preparation of aryltriazolones as agrochemical

fungicides.

INVENTOR(S): Brown, Richard James; Frasier, Deborah Ann; Howard,

Michael Henry, Jr.; Koether, Gerard Michael

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 442,433, SOURCE:

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

## PATENT INFORMATION:

	PA:	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
	US	 JS 6057352								US 1997-952380									
	WO	9636616				A1		19961121		WO 1996-US6534						1	19960508		
		W:	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	СН	I, DE,	DK,	ES,	FI,	FR,	GB,	GR,	
			ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ	, CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	
			MR,	ΝE,	SN,	TD,	TG												
		RW:	AL,	AM,	ΑU,	AZ,	BB,	BG,	BR,	BY,	CA	CN,	CZ,	EE,	GE,	HU,	IS,	JΡ,	
			KG,	KP,	KR,	KΖ,	LK,	LR,	LT,	LV,	MD	, MG,	MK,	MN,	MX,	NO,	NZ,	PL,	
			RO,	RU,	SG,	SI,	SK,	TJ,	TM,	TR,	TT	UA,	US,	UZ,	VN				
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	ΕP	825988				A1		19980304			EΡ	P 1996-915613				19960508			
		R:	DE,	ES,	FR,	GB,	ΙT												
	BR 9608756					A		1999	0706		BR	1996-	8756			1	9960	508	
JP 2002515014					T		2002	0521		JΡ	1996-	5348	97		1	9960	508		
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										,	US	1995-	4432	95		A 1	9950	517	
											US	1995-	4183	P		P 1	9950	922	
										,	WO	1996-	US65	34		W 1	9960	508	
ОТИТ	OTHER COHROW (C).						ידי ער כ	122.	2002/	10									

OTHER SOURCE(S): MARPAT 132:308340

GI

AΒ Title compds. [I; E = (substituted) 1,2-phenylene; A = O, S, N, NR5, CR14; G = C, N; when G = C, then A = O, S or NR5 and the floating double bond is attached to G; when G = N, then A = N or CR14 and the floating double bond is attached to A; W = O, S, NH, NA, NOA; A = alkyl; X = OR1, SOR1, halo; R1 = alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl; R2 = H, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkenyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, OH, alkoxy, AcO; R5 = H, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl; R14 = H, halo, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl; Y = NR15, CO, CHR15OC(S)NR15, etc.; R15 = H , alkyl, cycloalkyl, (substituted) Ph, PhCH2, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, heterocyclyl, etc.], were prepared Thus, 4-[2-(bromomethyl)phenyl]-2,4dihydro-5-methoxy- 2-methyl-3H-1,2,4-triazol-3-one (preparation given) was treated with 4'-chlorothiopropionanilide and KOCMe3 followed by stirring overnight and brief reflux to give [[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4- triazol-4-yl)phenyl]methyl]-N-(4-chlorophenyl)propanimidothioate. Several I at 200 ppm gave complete control of Puccinia recondita on wheat seedlings.

IT 1100545-83-2 1100546-14-2 1100546-90-4 1100547-16-7 1100547-36-1 1100547-40-7 1100547-43-0 1100547-51-0 1100547-52-1 1100547-53-2 1100548-05-7 1100548-11-5

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1100549-06-1 1100549-08-3 1100549-09-4
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1100549-35-6 1100549-41-4 1100549-50-5
1100550-03-5 1100550-25-1 1100550-28-4
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1100553-06-7 1100553-07-8 1100553-08-9
1100553-13-6 1100553-20-5 1100553-50-1
1100553-61-4 1100553-71-6 1100553-72-7
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1100555-87-0 1100555-92-7 1100556-01-1
1100556-02-2 1100556-03-3 1100556-05-5
1100556-25-9 1100556-28-2 1100556-37-3
1100556-38-4 1100556-39-5 1100556-40-8
1100556-46-4 1100556-79-3 1100556-97-5
1100557-35-4 1100557-38-7 1100557-46-7
1100557-47-8 1100557-48-9 1100557-49-0
RL: PRPH (Prophetic)
   (Preparation of aryltriazolones as agrochemical fungicides.)
1100545-83-2 CAPLUS
Benzenepropanamide, 2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-
triazol-4-yl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)
```

MeO 
$$\sim$$
 CH<sub>2</sub>-CH<sub>2</sub>-C-NH  $\sim$  CF<sub>3</sub>

RN 1100546-14-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN

CN

RN 1100546-90-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100547-16-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Me O 
$$\sim$$
 CH<sub>2</sub>-O-C- $\sim$  SiMe<sub>3</sub>

RN 1100547-36-1 CAPLUS

CN Benzenepropanamide, 2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)-N-[3-(trimethylsilyl)phenyl]- (CA INDEX NAME)

RN 1100547-40-7 CAPLUS

RN 1100547-43-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{MeO} \\ \end{array}$$

RN 1100547-51-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100547-52-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100547-53-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100548-05-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100548-11-5 CAPLUS

CN Urea, N-[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenyl]-N,N'-dimethyl-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1100548-20-6 CAPLUS

RN 1100548-77-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100548-99-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100549-06-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100549-08-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100549-09-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100549-10-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100549-33-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100549-34-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100549-35-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Meo 
$$\sim$$
 CH<sub>2</sub>-S-C-NH  $\sim$  SiMes

RN 1100549-41-4 CAPLUS

CN Urea, N-[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenyl]methyl]-N,N'-dimethyl-N'-[3-(trimethylsilyl)phenyl]- (CA INDEX NAME)

RN 1100549-50-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100550-03-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100550-25-1 CAPLUS

CN Benzenepropanamide, 2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)-N-phenyl- (CA INDEX NAME)

RN 1100550-28-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100550-54-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100551-24-3 CAPLUS

CN Urea, N-[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenyl]methyl]-N,N'-dimethyl-N'-phenyl- (CA INDEX NAME)

RN 1100552-05-3 CAPLUS

CN Benzenepropanamide, 2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1100552-09-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100552-57-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100552-58-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100552-59-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100552-60-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100552-67-7 CAPLUS

CN Urea, N-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)phenyl]methyl]-N,N'-dimethyl-N'-[3-(trifluoromethyl)phenyl]-(CA INDEX NAME)

RN 1100552-93-9 CAPLUS

CN Benzenepropanamide, N-(3,5-dichlorophenyl)-2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{C} \\ \text{NH} \\ \text{C1} \\ \end{array}$$

RN 1100552-97-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100553-05-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \text{CH}_2 - \text{S} \\ \text{C} \\ \text{N} \\ \text{C1} \\ \text{C1} \\ \end{array}$$

RN 1100553-06-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \end{array}$$

RN 1100553-07-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{CH}_2 - \text{S} - \text{C} \\ \text{N} \\ \end{array}$$

RN 1100553-08-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{MeO} \\ \end{array}$$

RN 1100553-13-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{MeO} \\ \end{array}$$

RN 1100553-20-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100553-50-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100553-61-4 CAPLUS

CN Urea, N-(3,5-dichlorophenyl)-N'-[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenyl]methyl]-N,N'-dimethyl- (CA INDEX NAME)

RN 1100553-71-6 CAPLUS

RN 1100553-72-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100554-01-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100554-90-2 CAPLUS
CN Urea, N-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4isoxazolyl)phenyl]methyl]-N,N'-dimethyl-N'-[3-(trimethylsilyl)phenyl](CA INDEX NAME)

RN 1100555-02-9 CAPLUS

RN 1100555-87-0 CAPLUS

CN Benzenepropanamide, 2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)-N-[3-(trimethylsilyl)phenyl]- (CA INDEX NAME)

RN 1100555-92-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100556-01-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN

RN 1100556-03-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100556-05-5 CAPLUS

CN Urea, N-(3,5-dichlorophenyl)-N'-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)phenyl]-N,N'-dimethyl- (CA INDEX NAME)

RN 1100556-25-9 CAPLUS

CN Benzenepropanamide, 2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)-N-phenyl- (CA INDEX NAME)

RN 1100556-28-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100556-37-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100556-38-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100556-39-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100556-40-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1100556-46-4 CAPLUS
CN Urea, N-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)phenyl]methyl]-N,N'-dimethyl-N'-phenyl- (CA INDEX NAME)

RN 1100556-79-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN

RN 1100557-35-4 CAPLUS

CN Benzenepropanamide, N-(3,5-dichloropheny1)-2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)- (CA INDEX NAME)

RN 1100557-38-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1100557-46-7 CAPLUS

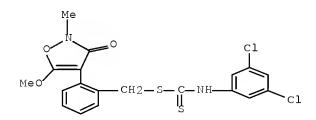
CN INDEX NAME NOT YET ASSIGNED

RN 1100557-47-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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RN 1100557-48-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1100557-49-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:668168 CAPLUS Full-text DOCUMENT NUMBER: 131:271883

TITLE: Preparation of oxazolyl- and thiazolyluracils as

herbicides and insecticides.

INVENTOR(S): Andree, Roland; Dollinger, Markus; Drewes, Mark

Wilhelm; Wetcholowsky, Ingo; Erdelen, Christoph;

Myers, Randy Allen

PATENT ASSIGNEE(S): Bayer A.-G., Germany SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						DATE		-	APPL	ICAT		DATE				
1985	354082			A1 1999101			${1014}$		 DE 1	 998-		19981124				
9952	52906			A1		1999	1021	,	WO 1	999-		19990326				
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								,	WO 1	999-	EP20	87	,	₩ 1	9990	326
	1985 9952 W: RW:	19854082 9952906 W: AE, DE, JP, MN, TM, RW: GH, ES, CI, 9937026	19854082 9952906 W: AE, AL, DE, DK, JP, KE, MN, MW, TM, TR, RW: GH, GM, ES, FI, CI, CM,	19854082 9952906 W: AE, AL, AM, DE, DK, EE, JP, KE, KG, MN, MW, MX, TM, TR, TT, RW: GH, GM, KE, ES, FI, FR, CI, CM, GA,	19854082 A1 9952906 A1 W: AE, AL, AM, AT, DE, DK, EE, ES, JP, KE, KG, KP, MN, MW, MX, NO, TM, TR, TT, UA, RW: GH, GM, KE, LS, ES, FI, FR, GB, CI, CM, GA, GN, 9937026 A	19854082 A1 9952906 A1 W: AE, AL, AM, AT, AU, DE, DK, EE, ES, FI, JP, KE, KG, KP, KR, MN, MW, MX, NO, NZ, TM, TR, TT, UA, UG, RW: GH, GM, KE, LS, MW, ES, FI, FR, GB, GR, CI, CM, GA, GN, GW, 9937026 A	19854082 A1 1999 9952906 A1 1999 W: AE, AL, AM, AT, AU, AZ, DE, DK, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MN, MW, MX, NO, NZ, PL, TM, TR, TT, UA, UG, US, RW: GH, GM, KE, LS, MW, SD, ES, FI, FR, GB, GR, IE, CI, CM, GA, GN, GW, ML, 9937026 A 1999	19854082 A1 19991014 9952906 A1 19991021 W: AE, AL, AM, AT, AU, AZ, BA, DE, DK, EE, ES, FI, GB, GD, JP, KE, KG, KP, KR, KZ, LC, MN, MW, MX, NO, NZ, PL, PT, TM, TR, TT, UA, UG, US, UZ, RW: GH, GM, KE, LS, MW, SD, SL, ES, FI, FR, GB, GR, IE, IT, CI, CM, GA, GN, GW, ML, MR, 9937026 A 19991101	19854082 A1 19991014 9952906 A1 19991021 W: AE, AL, AM, AT, AU, AZ, BA, BB, DE, DK, EE, ES, FI, GB, GD, GE, JP, KE, KG, KP, KR, KZ, LC, LK, MN, MW, MX, NO, NZ, PL, PT, RO, TM, TR, TT, UA, UG, US, UZ, VN, RW: GH, GM, KE, LS, MW, SD, SL, SZ, ES, FI, FR, GB, GR, IE, IT, LU, CI, CM, GA, GN, GW, ML, MR, NE, 9937026 A 19991101  (APPLN. INFO.:	19854082 A1 19991014 DE 1 9952906 A1 19991021 WO 1 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, DE, DK, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MN, MW, MX, NO, NZ, PL, PT, RO, RU, TM, TR, TT, UA, UG, US, UZ, VN, YU, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ES, FI, FR, GB, GR, IE, IT, LU, MC, CI, CM, GA, GN, GW, ML, MR, NE, SN, 9937026 A 19991101 AU 1 DE 1	19854082 A1 19991014 DE 1998- 9952906 A1 19991021 WO 1999- W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, 9937026 A 19991101 AU 1999- G APPLN. INFO.:  DE 1998-	19854082 A1 19991014 DE 1998-1985 9952906 A1 19991021 WO 1999-EP20 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 9937026 A 19991101 AU 1999-37020 GAPPLN. INFO.:  DE 1998-1985	19854082 A1 19991014 DE 1998-19854082 9952906 A1 19991021 WO 1999-EP2087 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 9937026 A 19991101 AU 1999-37026 (A APPLN. 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INFO.:  DE 1998-19854082 A 1	19854082 A1 19991014 DE 1998-19854082 19981 9952906 A1 19991021 WO 1999-EP2087 19990 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 9937026 A 19991101 AU 1999-37026 19990 (A APPLN. INFO.:  DE 1998-19854082 A 19981

OTHER SOURCE(S): MARPAT 131:271883

GΙ

Title compds. [I; Q = O, S; R1 = H, amino, alkyl, cyanoalkyl, haloalkyl, alkoxyalkyl; R2 = CHO, hydroximinomethyl, cyano, CO2H, alkoxycarbonyl, carbamoyl, thiocarbamoyl, alkyl, haloalkyl; R3 = H, cyano, halo, haloalkyl; R4 = H, halo, alkyl, haloalkyl; R5 = (substituted) Ph, naphthyl, pyridyl], were prepared Thus, Et 3-amino-4,4,4-trifluorocrotonate in N-methylpyrrolidone was treated with NaH and then with N-[4-(2,6-dichloropyridin-4-yl)thiazol-2-yl]-O-ethylurethane (preparation given) followed by 6 h heating at 160° to give 58% 1-[4-(2,6-dichloropyridin-4-yl)thiazol-2-yl]-4-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidine. The latter was stirred with 1-aminooxy-2,4-dinitrobenzene and NaHCO3 in DMF to give 43% 3-amino-1-[4-(2,6-dichloropyridin-4-yl)thiazol-2-yl]-4-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidine. The latter was said to have strong activity against weeds combined with good crop tolerance.

IT 1099029-02-3 1099029-03-4

RL: PRPH (Prophetic)

(Preparation of oxazolyl- and thiazolyluracils as herbicides and insecticides.)

RN 1099029-02-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099029-03-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & & & \\ & & & \\ Ph & & \\ Me - N - C - CH2 - O \end{array}$$

L3 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:659233 CAPLUS Full-text

DOCUMENT NUMBER: 131:286505

TITLE: Preparation of isoxazoloquinolinones as multidrug

resistance protein (MRP1) inhibitors

INVENTOR(S): Gruber, Joseph Michael; Kroin, Julian Stanley; Norman,

Bryan Hurst

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.	KIN	D	DATE			APPI	ICAT	ION :	DATE								
WO 9951228					A1 19991014					 WO 1	.999-	 US76	19990407						
	W:	ΑE,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,		
		DE,	DK,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,		
		JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,		
		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,		
		TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW							
	R₩:	GH,	GM,	ΚE,	LS,	M₩,	SD,	SL,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,		
		ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,		
		CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG							
CA	CA 2327617				A1		1999	1014		CA 1	999-	2327	617	19990407					
ΑU	AU 9934769				A	A 19991025				AU 1	999-	3476	9		1	9990	407		
TR	2000	0285	1		T2		2000	1221		TR 2	2000-	2851		19990407					
BR	9910	112			Α		2000	1226		BR 1	999-	1011	2	19990407					
EP	1067	928			A1 20010117			0117		EP 1	999-	9164	56	19990407					
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		SI,	LT,	LV,	FΙ,	RO													
HU	2001	0015	8 0		A2		2001	1028		HU 2	2001-	1508		19990407					
HU	2001	0015	8 0		А3		2002	0429											
US	6369	070			В1		2002	0409		US 2	000-	6460	62	20000913					
XM	MX 2000009655				A		2001	0316		MX 2	2000-	9655	20001002						

HR 2000000646 A1 20010630 HR 2000-646 20001003 NO 200005023 A 20001205 NO 2000-5023 20001005 PRIORITY APPLN. INFO.: US 1998-81080P P 19980408 WO 1999-US7613 W 19990407

OTHER SOURCE(S): MARPAT 131:286505

GΙ

AB The title compds. (I) [where R = (un) substituted amino(alkyl) or aminoethoxy, or (CH2) m'R3; m and m' = independently 0, 1, or 2; R3 = H, OH, alkoxy, amino ester, amino acid, or (un) substituted amino; R' = H, OH, or (un) substituted alkoxy] were prepared as inhibitors of 190 kDa multidrug resistance protein (MRP1) for inhibiting resistant neoplasms (14 specific neoplasm types claimed). Selected invention compds. were prepared via solution and solid phase combinatorial synthetic methods. For example, 3-(2-chloro-6fluorophenyl)-5-methyl-4-isoxazoyl chloride was coupled with N-(5methylisoxaz-3-oyl)-3-aminobenzylamine to form the amide followed by treatment with NaOH to yield the cyclized title compound (II). Several general procedures using substituted polystyrene resins for combinatorial preparation of title compds. were given. Representative compds. demonstrated significant reversal of MRP1 multiple drug resistance, and many compds. gave significant enhancement of oncolvtic agent activities (no data). A large majority of the compds. tested were also said to have displayed a significant degree of selective inhibition of the  ${\rm HL60/ADR}$  cell line over the  ${\rm HL60/VCR}$  cell line in an assay for reversal of MRP1-mediated doxorubicin and vincristine resistance (no data).

IT 1101885-24-8 1101885-25-9 1101885-26-0

1101885-27-1

RL: PRPH (Prophetic)

(Preparation of isoxazoloquinolinones as multidrug resistance protein (MRP1) inhibitors)

RN 1101885-24-8 CAPLUS

CN Urea, N-[3,5-bis(trifluoromethyl)phenyl]-N'-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]- (CA INDEX NAME)

RN 1101885-25-9 CAPLUS

CN Urea, N-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]-N'-(4-methylphenyl)- (CA INDEX NAME)

RN 1101885-26-0 CAPLUS

CN Urea, N-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 1101885-27-1 CAPLUS

CN Thiourea, N-[3,5-bis(trifluoromethyl)phenyl]-N'-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:375534 CAPLUS Full-text

DOCUMENT NUMBER: 131:31809
TITLE: Preparation of

phenylethylideneaminooxymethylbenzeneacetamides and related compounds as agrochemical fungicides and

arthropodicides.

INVENTOR(S): Walker, Michael Paul

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIN	D	DATE	ATE APPLICATION					NO. DATE							
	WO	 O 9928305				 A1	_	19990610			WO 1998-US24265						19981113				
		W:	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GD,	GE,			
			HR,	HU,	ID,	IL,	IS,	JP,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LT,	LV,	MD,			
			MG,	MK,	MN,	MX,	NO,	NΖ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,			
			TT,	UA,	US,	UZ,	VN,	ΥU													
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	ΒE,	CH,	CY,	DE,	DK,	ES,			
			FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,			
			CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG									
AU 9914078						A		1999	0616		AU 1999-14078						19981113				
PRIORITY APPLN, INFO.:											US 1	997-	6707	0P	]	P 1	9971	201			
											WO 1	998-	US24	265	1	W 1	9981	113			
OTITE	מסמונ		MAD		121.	2100	<u> </u>														

OTHER SOURCE(S): MARPAT 131:31809

GI

AB Title compds. (I; A = O, S, N, NR5, CR7; G = C, N; T = Q1-Q4; YZ = group consisting of  $\geq 3$  atoms selected from C, N, O, S, Si, Ge, provided that  $\geq 2$  atoms = C, and addnl. atoms selected from H, F, Cl, Br, I; X = OR1, SOMR1, halo; W = O, S; R1 = alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl; R3 = halo, CF3, alkyl,

alkoxy; R4 = H, halo, CF3, alkyl, alkoxy; R2, R5, R6 = H, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl; R7 = H, halo, Me; m = 0-2; p = 0, 1; 1 dotted line = double bond; with provisos), were prepared Thus, 1-[3-(trifluoromethyl)phenyl]ethanone oxime was stirred 1 h in DMF; Me (E)-(5-

(trifluoromethy1)pheny1]ethanone oxime was stirred 1 h in DMF; Me (E)-(5-bromomethy1)- $\alpha$ -methoxyimino-2-methylbenzeneacetate (preparation given) was added and the mixture was stirred 18 h to give Me (E)- $\alpha$ -methoxyimino-2-methyl-5-[[[[1-[3-

(trifluoromethyl)phenyl]ethylidene]amino]oxy]methyl]benzeneacetate. The latter at 200 ppm gave 98% control of erysiphe graminis on wheat.

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1101276-99-6 1101277-00-2 1101277-01-3
TT
    1101277-02-4 1101277-03-5 1101277-04-6
    1101277-05-7 1101277-06-8 1101277-07-9
    1101277-08-0 1101277-09-1 1101277-10-4
    1101278-65-2 1101231-89-3 1101281-90-6
    1101281-91-7 1101281-92-8 1101281-93-9
    1101281-94-0 1101281-95-1 1101281-96-2
    1101281-97-3 1101283-28-6 1101283-29-7
    1101284-82-5 1101284-83-6 1101284-84-7
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    1101286-19-4 1101286-20-7 1101286-21-8
    1101286-22-9 1101286-23-0 1101324-17-7
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    1101334-02-4 1101340-89-9 1101340-91-3
    1101340-92-4 1101340-93-5 1101340-94-6
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RL: PRPH (Prophetic)

(Preparation of phenylethylideneaminooxymethylbenzeneacetamides and related compounds as agrochemical fungicides and arthropodicides.)  $\frac{1}{2} \left( \frac{1}{2} \right) = \frac{1}{2} \left( \frac{1}{2} \right) \left( \frac{1}{2} \right)$ 

RN 1101276-99-6 CAPLUS

CN

RN

CN

INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{C1} \\ \text{C2} \\ \text{S} \\ \text{C1} \\ \text{C3} \\ \text{C4} \\ \text{C5} \\ \text{C6} \\ \text{C6} \\ \text{C7} \\ \text{C1} \\ \text{C6} \\ \text{C6} \\ \text{C7} \\ \text{C1} \\ \text{C6} \\ \text{C6} \\ \text{C7} \\ \text{C1} \\ \text{C6} \\ \text{C7} \\ \text{C1} \\ \text{C6} \\ \text{C7} \\ \text{C6} \\ \text{C7} \\ \text{C7} \\ \text{C8} \\ \text{C1} \\ \text{C8} \\ \text{C8} \\ \text{C9} \\ \text{C1} \\ \text{C9} \\ \text{C1} \\ \text{C1} \\ \text{C1} \\ \text{C1} \\ \text{C2} \\ \text{C2} \\ \text{C2} \\ \text{C3} \\ \text{C4} \\ \text{C4} \\ \text{C6} \\ \text{C6}$$

1101277-00-2 CAPLUS

INDEX NAME NOT YET ASSIGNED

RN 1101277-01-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-02-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-03-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-04-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101277-05-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-06-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$Me$$
 $Me$ 
 $Me$ 
 $Me$ 
 $Me$ 
 $C1$ 
 $CF_3$ 

RN 1101277-07-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-08-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-09-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101277-10-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101278-65-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101281-89-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101281-90-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101281-91-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101281-92-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{C} \\ \text{C} \\ \text{S} \end{array}$$

RN 1101281-93-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101281-94-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101281-95-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$F_3C$$
 $Me$ 
 $Me$ 
 $MeO$ 
 $CF_3$ 
 $Me$ 
 $CF_3$ 

RN 1101281-96-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{N-C-S-CH}_2 \\ \end{array}$$

RN 1101281-97-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101283-28-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{S} \end{array} \quad \begin{array}{c} \text{Me} \\ \text{CF3} \\ \text{CF3} \\ \text{Me} \\$$

RN 1101283-29-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101284-82-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101284-83-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101284-84-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101284-85-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101284-86-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{C} \\ \text$$

RN 1101286-18-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101286-19-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101286-20-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101286-21-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101286-22-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101286-23-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101324-17-7 CAPLUS
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RN 1101324-18-8 CAPLUS
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RN 1101324-22-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101324-23-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101324-25-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101324-27-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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RN 1101324-29-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101324-31-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101324-33-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101324-35-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101333-92-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101333-93-0 CAPLUS
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RN 1101333-94-1 CAPLUS
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RN 1101333-95-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101333-96-3 CAPLUS
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RN 1101333-97-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101333-98-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{Me} \\$$

RN 1101333-99-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101334-00-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101334-01-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101334-02-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101340-89-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101340-91-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101340-92-4 CAPLUS
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RN 1101340-93-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101340-94-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101340-95-7 CAPLUS
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RN 1101340-96-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101340-97-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101340-98-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101340-99-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101341-00-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:184085 CAPLUS Full-text

130:219484 DOCUMENT NUMBER:

TITLE: Preparation of enantiomerically-enriched triazolone

derivatives as fungicides and arthropodicides

INVENTOR(S): Brown, Richard James; Casalnuovo, Albert Loren; Chan,

Dominic Ming-Tak

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

SOURCE: PCT Int. Appl., 213 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	KIND DATE			APPLICATION NO.						DATE						
WO 991	WO 9911129					A1 19990311			WO 1	 998-1		19980827				
W:		AM,														-
	HU,	ID,	IL,	IS,	JP,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,
	MK,	MN,	MX,	NO,	NΖ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,
	UA,	US,	UZ,	VN,	YU											
RV	: GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG						
AU 9892065						1999	0322		AU 1	998-	9206	5	19980827			
PRIORITY A						US 1	997-	5791	7P	I	2 1	9970	904			
									WO 1	998-1	US17	747	1	W 1	9980	827

OTHER SOURCE(S): MARPAT 130:219484

GΙ

AΒ The triazole derivs, I [T = (un) substituted triazolone, etc.; U = halo or (halo)alkyl; V = H, halo, alkyl, CN, NO2 or alkoxy; YZ = group of ≥5 atoms (C, N, O S Si and/or Ge), provided that  $\geq 2$  atoms are C], their N-oxides and/or salts, are prepared and enriched in the more active isomer with respect to the relative positions of U, T and YZ. The enantiomerically-enriched compds. control fungi and arthropods.

ΙT 1098676-56-2 1098677-26-9 1098677-59-8 1098678-55-7 1098678-56-8 1098678-96-6 1098678-97-7 1098679-35-6 1098679-64-1 1098679-66-3 1098679-68-5 1098679-69-6 1093679-70-9 1098679-88-9 1098679-91-4 1098679-92-5 1098630-32-0 1098680-52-4 1098690-53-5 1098680-54-6 1098681-45-8 1038681-72-1 1098682-29-1 1098682-30-4 1098683-53-4 1098683-54-5 1098683-93-2 1098683-94-3 1098683-96-5 1098683-97-6 1098684-63-9 1098684-64-0 1098685-88-1 1098686-45-3 1098686-47-5 1098687-01-4 1098687-02-5 1098687-04-7 1098687-05-8 1098687-76-3 1098689-29-2 1098689-57-6 1098689-62-3 1098689-63-4 1098690-11-9 1098690-12-0 1098690-14-2 1098690-32-4 1098690-33-5 1098690-92-6 1098690-93-7 1038691-87-2 1098631-90-7 1098692-47-7 1098693-71-0 1098693-73-2 1098693-99-2 1098694-01-9 1098721-75-5 1098721-76-6 1098721-77-7 1098721-78-8 1098722-21-4 1098722-24-7 1098727-53-7 1098727-54-8 1098727-79-7 1098727-80-0 1098728-82-5

## RL: PRPH (Prophetic)

(Preparation of enantiomerically-enriched triazolone derivatives as fungicides and arthropodicides)

RN 1098676-56-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098677-26-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098677-59-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098678-55-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098678-56-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098678-96-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098678-97-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098679-35-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098679-64-1 CAPLUS

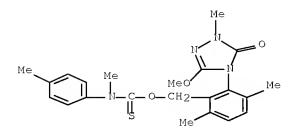
RN 1098679-66-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098679-68-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098679-69-6 CAPLUS



RN 1098679-88-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098679-91-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098679-92-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098680-32-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098680-52-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098680-53-5 CAPLUS

RN 1098680-54-6 CAPLUS
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RN 1098681-45-8 CAPLUS
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RN 1098681-72-1 CAPLUS
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RN 1098682-29-1 CAPLUS
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CN INDEX NAME NOT YET ASSIGNED

RN 1098683-93-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098683-94-3 CAPLUS

RN 1098683-96-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098683-97-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098684-63-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098684-64-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098685-88-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098686-45-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098686-47-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098687-02-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098687-04-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098687-05-8 CAPLUS

RN 1098687-76-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098689-29-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098689-57-6 CAPLUS

RN 1098689-63-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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RN 1098727-79-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098727-80-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098728-82-5 CAPLUS

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:585856 CAPLUS Full-text

DOCUMENT NUMBER: 129:202951

ORIGINAL REFERENCE NO.: 129:41227a,41230a

TITLE: Preparation of pyridazin-3-ones as herbicides

INVENTOR(S): Komori, Takashi; Hoshi, Hisayuki; Enomoto, Masayuki

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 50 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	TENT	NO.		KIND		DATE			APPLICATION NO.						DATE			
	EP	 P 860435				A1	•	1998		EP	EP 1998-102908				19980219				
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	, GI	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	, RO											
	JP	1029	1983			A		1998	1104		JP	1998-	-2607	8		1	9980	206	
	ZA	9801	322			A		1998	0907		ZA	1998-	-1322			1	9980	218	
	BR	9800	648			A		2000	0314		BR	1998-	-648			1	9980	218	
	CN	1199	732			A		1998	1125		CN	1998-	-1070	37		1	9980	219	
PRIC	ORIT	Y APP	LN.	INFO	.:						JP	1997-	-3539	5	Z	1	9970	219	
OTHE	MARP	'ΑΤ	129:	2029	51														
GT																			

The title compds. [I; R1 = haloalkyl; R2, R3 = H, alkyl, haloalkyl, alkoxyalkyl; X = H, halo; Y = halo, NO2, CN, trihalomethyl; Z1 = O, S, CH2, NH; Z4 = O, S; R4 = H, alkyl, cycloalkyl; R5 = alkenyl, haloalkenyl, alkynyl, haloalkynyl], useful as herbicides, were prepared Thus, reaction of 2-(2-fluoro-4-chloro-5-hydroxyphenyl)-4-methyl-5- trifluoromethylpyridazin-3-one with allyl chloroacetate in the presence of K2CO3 in DMF afforded I [X = F; Y = C1; R1 = CF3; R2 = Me; R3 = R4 = H; R5 = OCH2CH:CH2; Z1 = Z4 = O] which showed complete control against barnyardgrass, ivyleaf morningglory, and velvetleaf at 500 g/ha.

IT 1101505-02-5 1101505-03-6 1101505-04-7 1101505-05-8 1101505-06-9 1101505-07-0 1101505-08-1

Ι

RL: PRPH (Prophetic)

(Preparation of pyridazin-3-ones as herbicides)

RN 1101505-02-5 CAPLUS

CN Acetamide, 2-[2-chloro-4-fluoro-5-[6-oxo-4-(trifluoromethyl)-1(6H)-pyridazinyl]phenoxy]-N-phenyl- (CA INDEX NAME)

RN 1101505-03-6 CAPLUS

CN Acetamide, 2-[2-chloro-4-fluoro-5-[3-methyl-6-oxo-4-(trifluoromethyl)-1(6H)-pyridazinyl]phenoxy]-N-phenyl- (CA INDEX NAME)

RN 1101505-04-7 CAPLUS

CN Acetamide, 2-[2-chloro-5-[3,5-dimethyl-6-oxo-4-(trifluoromethyl)-1(6H)-pyridazinyl]-4-fluorophenoxy]-N-phenyl- (CA INDEX NAME)

RN 1101505-05-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101505-06-9 CAPLUS

 $\texttt{CN} \quad \texttt{Propanamide, 2-[2-chloro-4-fluoro-5-[5-methyl-6-oxo-4-(trifluoromethyl)-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6-oxo-6$ 

1(6H)-pyridazinyl]phenoxy]-N-phenyl- (CA INDEX NAME)

RN 1101505-07-0 CAPLUS

CN Propanamide, 2-[2-chloro-4-fluoro-5-[3-methyl-6-oxo-4-(trifluoromethyl)-1(6H)-pyridazinyl]phenoxy]-N-phenyl- (CA INDEX NAME)

RN 1101505-08-1 CAPLUS

CN Propanamide, 2-[2-chloro-5-[3,5-dimethyl-6-oxo-4-(trifluoromethyl)-1(6H)-pyridazinyl]-4-fluorophenoxy]-N-phenyl- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:385480 CAPLUS Full-text

DOCUMENT NUMBER: 129:54376

ORIGINAL REFERENCE NO.: 129:11333a,11336a

TITLE: Preparation of 3H-1,2,4-triazol-3-one derivatives as

fungicides and arthropodicides

INVENTOR(S): Brown, Richard James; Castro, Peter Paul; Chan,

Dominic Ming-Tak; Daub, John Powell; Koether, Gerard

Michael; Selby, Thomas Paul; et al.

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA; Brown, Richard

James; Castro, Peter Paul; Chan, Dominic Ming-Tak;

Daub, John Powell; Koether, Gerard Michael

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE				APPLICATION NO.					DATE						
WO	WO 9823156					A1 19980604				WO 1	 997-	 US21	19971125							
	W:	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,			
		ID,	IL,	IS,	JP,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,			
		MN,	MX,	NO,	NΖ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,			
		US,	UZ,	VN,	YU															
	RW:	GH,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,			
		GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,			
		GN,	ML,	MR,	NE,	SN,	TD,	TG												
WO	WO 9823155					A1 19980604				WO 1996-US18916					19961126					
	W:	JΡ,	KR																	
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE		
AU	AU 9854633						A 19980622				AU 1998-54633					19971125				
EP	EP 944314					A1 19990929				EP 1	997-	9485	97	19971125						
	R:	CH,	DE,	DK,	ES,	FR,	GB,	IT,	LI,	NL,	ΙE									
BR	BR 9713415						2000	0418		BR 1	997-	1341	19971125							
JP	2001	5069	84		T		2001	0529	JP 1998-524889					19971125						
MX	MX 9904789						A 20000131				MX 1999-4789					19990524				
PRIORIT	RIORITY APPLN. INFO.:									WO 1	996-	US18	916		A 1	9961	126			
										US 1	996-	3361	4P	:	P 1	9961	219			
										US 1	997-	4884	4P		P 1	9970	606			
										WO 1	997-	US21	944	1	W 1	9971	125			
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$$\mathbb{R}^{3} = \mathbb{R}^{4}$$

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$$\mathbb{R}^{3} = \mathbb{R}^{4}$$

$$\mathbb{R}^{4} = \mathbb{R}^{4}$$

AB I [T = Q (X = OR1, SOmR1, halo; A = S, O, N, NR5, CF7; G = C, N; W = O, S, NH, etc.), R1OsCH:CMeCO2R5; R1ON:CMeCO2R5; etc.; R3 and R4 are each independently H or CH3, provided that R3 and R4 are not both H; Y = O, CH2O, a direct bond, etc.; Z = C1-10 alkyl or haloalkyl, (un)substituted Ph, aromatic heterocyclyl, etc.], useful for controlling plant diseases caused by fungal plant pathogens or for controlling arthropods, were prepared E.g., 4-[2-[(5-bromo-2-thienyl)oxy]-6-methylphenyl]-2, 4-dihydro-5- methoxy-2-methyl-3H-1, 2, 4-triazol-3-one was prepared in several steps.

IT 1098677-26-9 1098679-35-6 1098679-64-1 1038679-66-3 1098679-68-5 1098679-69-6 1098679-70-9 1098683-54-5 1098684-63-9 1098684-64-0 1098685-88-1 1098686-45-3 1098686-47-5 1098687-01-4 1098687-02-5 1098687-04-7 1098687-05-8 1098687-76-3 1098689-57-6 1099689-62-3 1098699-63-4 1098690-11-9 1098690-12-0 1098690-14-2 1098691-37-2 1098691-37-2 1098691-37-7 1098691-37-2

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1101594-04-0 1101594-05-1
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## RL: PRPH (Prophetic)

(Preparation of 3H-1,2,4-triazol-3-one derivatives as fungicides and arthropodicides)

RN 1098677-26-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098679-35-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098679-64-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1098679-66-3 CAPLUS

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RN 1098686-45-3 CAPLUS

RN 1098686-47-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1098687-01-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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RN 1098687-04-7 CAPLUS

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RN 1098690-92-6 CAPLUS

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RN 1098691-87-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1098691-90-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

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RN 1101569-67-8 CAPLUS
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RN 1101569-68-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101572-07-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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CN INDEX NAME NOT YET ASSIGNED

RN 1101582-01-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101582-02-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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RN 1101582-05-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101582-06-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101582-08-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101582-09-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101582-11-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101582-12-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101582-13-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101586-80-4 CAPLUS
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RN 1101586-81-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101586-82-6 CAPLUS
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RN 1101586-85-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101586-86-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1101586-87-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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RN 1101588-80-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101588-81-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \\ \text{Me} \\ \end{array}$$

RN 1101588-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101588-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{S} - \text{C} - \text{N} \\ \text{Me} \\ \text{S} \end{array}$$

RN 1101588-86-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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RN 1101588-87-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101588-90-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101588-91-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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RN 1101588-92-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

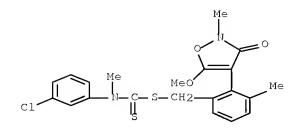
$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \end{array}$$

RN 1101588-95-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101588-96-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101588-97-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101589-71-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1101589-73-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101589-77-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101589-78-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101589-79-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101589-82-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101589-83-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101589-84-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101591-11-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101591-12-1 CAPLUS
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RN 1101591-13-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101593-93-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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RN 1101593-94-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101593-95-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101593-97-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101593-98-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101594-00-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101594-01-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101594-02-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1101594-04-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1101594-05-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{Me} \\ \end{array}$$

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:112354 CAPLUS Full-text

DOCUMENT NUMBER: 128:167436

ORIGINAL REFERENCE NO.: 128:33001a,33004a

Preparation of arthropodicidal and fungicidal cyclic TITLE:

INVENTOR(S): Brown, Richard James; Chan, Dominic Ming-Tak; Clark,

David Alan; Drumm, Joseph Eugene, III; Koether, Gerard

Michael; McCann, Stephen Frederick; Rorer, Morris Padgett; Selby, Thomas Paul; Walker, Michael Paul

E. I. Du Pont de Nemours & Co., USA; Brown, Richard PATENT ASSIGNEE(S):

> James; Chan, Dominic Ming-Tak; Clark, David Alan; Drumm, Joseph Eugene, III; Koether, Gerard Michael; McCann, Stephen Frederick; Rorer, Morris Padgett;

Selby, Thomas Paul; Walker, Michael Paul

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.						DATE		APPLICATION NO.					DATE			
	WO 9805652 WO 9805652								WO 1997-US12809						19970724		
WO	₩:	AL, IL, MX, UZ, ES, CI, GH,	AM, IS, NO, VN, FI, CM, KE,	AU, JP, NZ, YU, FR, GA, LS,	AZ, KG, PL, GH, GB, GN, MW,	BA, KP, RO, KE, GR, ML, SD,	BB, KR, RU, LS, IE, MR, SZ,	BG, KZ, SG, MW, IT, NE, UG,	LC, SI, SD, LU, SN, ZW,	LK SK SZ MC TD	, CA, , LR, , SL, , UG, , NL, , TG , BE,	LT, TJ, ZW, PT,	LV, TM, AT, SE,	MD, TR, BE, BF,	MG, TT, CH, BJ,	MK, UA, DE, CF,	MN, US, DK, CG,
	AU 9738890			,	A				AU 1997-38890 EP 1997-936152								
BR CN JP MX	R: DE, FR, GB,				IT A A T				BR 1997-11816 CN 1997-198356 JP 1998-507942				1	19970724 19970724 19970724 19990201 P 19960801			

OTHER SOURCE(S): MARPAT 128:167436

GΙ

AΒ The title compds. [I; E = (un) substituted 1,2-phenylene, naphthalene, 5-12 membered monocyclic and fused bicyclic heteroaryl; A = O, S, N, NR5, CR14; G = C, N (provided that when G = C, then A = O, S, NR5 and the floating double bond is attached to G; and when G = N, then A = N, CR14 and the floating double bond is attached to A); W = O, S, NH, N(C1-6alkyl), NO(C1-6alkyl); X = OOR1, S(0)mR1, halo; Y = 0, S(0)n, NR15, etc.; Z = (un)substituted C3-8cycloalkyl, C3-8 cycloalkenyl, Ph, etc.; R1 = C1-6 alkyl, C1-6 haloalkyl, C2-6 alkenyl, etc.; R2 = H, C1-6 alkyl, C1-6 haloalkyl, etc.; R5 = H, C1-6 alkyl, C1-6 haloalkyl, etc.; R14 = H, halo, C1-6 alkyl, etc.; R15 = H, C1-3 alkyl, C3-6 cycloalkyl, etc.; m, n = 0-2], useful for controlling plant diseases caused by fungal plant pathogens, and for controlling arthropods, were prepared Thus, reaction of 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2methyl-3H-1,2,4-triazol-3-one with 3-iodo-5-(methylsulfonyl)-1,2,4-thiadiazole in the presence of K2CO3 in Me2CO followed by reacting the resulting 2,4 $dihydro-4-\{2-[(3-iodo-1,2,4-thiadiazol-5-y1)oxy]phenyl\}-5-methoxy-2-methyl-$ 3H-1,2,4-triazol-3-one with 2-ethynylpyridine in the presence of CuI, PdCl2(PPh3)2 and Et3N in DMF afforded the title compound II which showed 95% control against Erypsiphe graminis (the causal agent of wheat powdery mildew) at 500 g/ha.

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1099514-02-9 1099514-03-0 1099514-04-1
ΙT
     1099514-05-2 1099514-06-3 1099514-07-4
    1099514-08-5 1099514-09-6 1099514-10-9
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    1099516-91-2
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RL: PRPH (Prophetic)

RN

(Preparation of arthropodicidal and fungicidal cyclic amides) 1099514-02-9 CAPLUS

$$\begin{array}{c} \text{OH} \\ \text{N} \\ \text{NH-C-S-CH}_2 \end{array} \\ \text{NH-C-S-CH}_2 \\$$

RN 1099514-03-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

1099514-04-1 CAPLUS RN INDEX NAME NOT YET ASSIGNED CN

RN 1099514-05-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099514-06-3 CAPLUS
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RN 1099514-07-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099514-08-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099514-10-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099514-44-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

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RN 1099514-53-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099514-54-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099514-98-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099514-99-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-00-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-01-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-03-3 CAPLUS

CN Ethanethioic acid, O-[3-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-y1)-3-methylphenyl]methyl]thio]thioxomethyl]amino]phenyl] ester (CA INDEX NAME)

RN 1099515-04-4 CAPLUS

CN Benzoic acid, 4-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]-, (4-fluorophenyl)methyl ester (CA INDEX NAME)

RN 1099515-65-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-66-8 CAPLUS

RN 1099515-67-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{OH} \\ \text{N} \\ \text{OH} \\$$

RN 1099515-68-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-69-1 CAPLUS

RN 1099515-70-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-71-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099515-72-6 CAPLUS

CN Propane(dithioic) acid, 2-methyl-,
4-[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3methylphenyl]methyl]thio]thioxomethyl]amino]phenyl ester (CA INDEX NAME)

RN 1099515-73-7 CAPLUS

RN 1099515-74-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-75-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-76-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-77-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-79-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-80-6 CAPLUS

RN 1099515-81-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-82-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-83-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099515-84-0 CAPLUS

CN Benzenecarbothioic acid, 4-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]-, O-ethyl ester (CA INDEX NAME)

RN 1099515-86-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-87-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099515-88-4 CAPLUS

RN 1099515-89-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-90-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099515-91-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099515-92-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099516-18-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099516-19-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099516-20-7 CAPLUS

RN 1099516-22-9 CAPLUS

CN Benzenecarbodithioic acid, 4-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]-, difluoromethyl ester (CA INDEX NAME)

RN 1099516-23-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099516-24-1 CAPLUS

RN 1099516-25-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099516-26-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

RN 1099516-27-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099516-29-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099516-85-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 1099516-86-5 CAPLUS

CN Benzenesulfonic acid, 4-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]-, 2,2,2-trifluoroethyl ester (CA INDEX NAME)

RN 1099516-87-6 CAPLUS

$$\begin{array}{c} \text{OH} \\ \text{N} \\ \text{Me} \end{array}$$

RN 1099516-88-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} \mathsf{CH}_2 - \mathsf{O} - \mathsf{CH}_2 & \mathsf{F} & \mathsf{MeO} \\ \mathsf{NH} - \mathsf{C} - \mathsf{S} - \mathsf{CH}_2 & \mathsf{Me} \\ \mathsf{S} & \mathsf{Me} \end{array}$$

RN 1099516-89-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 1099516-90-1 CAPLUS

CN Ethanethioic acid, S-[4-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-y1)-3-methylphenyl]methyl]thio]thioxomethyl]amino]phenyl] ester (CA INDEX NAME)

RN 1099516-91-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c} \text{OH} \\ \text{NH-C-S-CH}_2 \end{array}$$

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1969:87252 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 70:87252

ORIGINAL REFERENCE NO.: 70:16284h,16285a

TITLE: Asymmetric oxalylbis[arylamides]

PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: Fr., 47 pp.
CODEN: FRXXAK

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1516276	A1	19680308	FR 1967-93513	19670202
CH 480867	A	19691115	CH 1966-480867	19660207
CH 512933	A	19710930	СН 1966-512933	19660207
BE 693605	A	19670803	BE 1967-693605	19670203
NL 6701776	A	19670808	NL 1967-1776	19670206
NL 6701777	A	19670808	NL 1967-1777	19670206
NL 15 <b>99</b> 55	В	19790417		
US 3529982	A	19700922	US 1967-614039	19670206
SE 346103	В	19720626	SE 1967-1640	19670206
SE 347959	В	19720821	SE 1967-1639	19670206
GB 1177094	A	19700107	GB 1967-1177094	19670207
GB 11770 <b>9</b> 5	A	19700107	GB 1967-1177095	19670207
US 3661606	A	19720509	US 1970-28026	19700413

US 4003875	A	19770118	US	1975-633200		19751119
PRIORITY APPLN. INFO.:			СН	1966-1679	A	19660207
			СН	1966-1680	Α	19660207
			US	1967-614039	<b>A</b> 2	19670206
			US	1969-820712	A1	19690429
			US	1972-253070	A2	19720515
			TTC	1072 276665	7\2	10720705

US 1973-376665 A2 19730705 Asym. oxalylbis[arylamides] 2,4-R7R6C6H3NH-COCONHC6R1R2R3R4R5-2,3,4,5,6 (I) AΒ were prepared and used for the stabilization of cellulose acetate, poly(vinyl chloride), polyethylene, polypropylene, polyesters, olive oil, polyacrylonitrile, polyamides, and nitrocellulose against uv degradation. Thus, 22.3 parts p-MeOC6H4NHCOCOCO2Et was heated with 10.9 parts 2-aminophenol at  $175-80^{\circ}$  in the presence of 0.5 part H3BO3, the alc. formed removed by distillation, the precipitate dissolved in HCONMe2, and H2O added to the solution to give 26 parts I (R1 = OH, R2 = R3 = R4 = R5 = R7 = H, R6 = OMe) (II), m. 213-14°. II (2.9 parts) was dissolved in 10 parts Me2CO and a solution of 0.4 part NaOH in 10 parts water, 0.1 part Na2CO3 added, 1.4 parts Me2SO4 added dropwise, the mixture stirred 4 hrs. at 45°, MeOH added, and the mixture cooled to give I (R1 = R6 = OMe, R2 = R3 = R4 = R5 = R7 = H), m. 160-1°. II (5.8 parts) was dissolved in 20 parts Me2SO containing 0.8 part NaOH, 6.7 parts octadecyl bromide added at 20°, the mixture stirred 4 hrs. at 45°, and MeOH added to give 10 parts I [R1 = O(CH2)17Me, R6 = OMe, R2 = R3 = R4 = R4]R5 = R7 = H], m. 90.5-1.5°. I (R3 = OH, R6 = OEt, R1 = R2 = R4 = R5 = R7 = H) was heated with C6H4Cl2 in the presence of capryloyl chloride at 120-40° to give I [R3 = O2C(CH2)6Me, R6 = OEt, R1 = R2 = R4 = R5 = R7 = H], m. 219-21°.I (R3 = R4 = R6 = C1, R1 = R2 = R5 = R7 = H), m. 234-5°, was prepared byheating p-C1C6H4NHCO-CO2Et with 3,4-C12C6H3NH2 in the presence of H3BO3. I was added to the organic material in 0.2-2% concentration The following I (R5 = R7 = H) were also prepared (R1, R2, R3, R4, R6, and m.p. given): H, Me, H, Me, OMe, 191-2°; H, Cl, H, Cl, OMe, 233-4°; H, Cl, H, CF3, OMe, 198-9°; H, CF3, H, CF3, OMe, 182-3°; (R1R2 =) CH:CHCH:CH, H, H, OMe, 198-9°; Cl, H, H, H, OMe,  $166-7^{\circ}$ ; Me, H, H, H, OMe,  $194-5^{\circ}$ ; H, H, Me, H, OMe,  $230-1^{\circ}$ ; H, H, Br, H, OMe, 280-1°; H, H, Cl, H, OMe, 267-8°; H, H, NMe2, H, OMe, 243-4°; Ph, H, H, H, OEt, 147-8°; H, H, Bu, H, OEt, 222-3°; H, H, CH2CO2H, H, OEt, 267-8°; H, H, C12H25, H, OEt, 129-38°; H, H, OBz, H, OEt, 270-2°; H, H, O2CC6H4Bu-tert, H, OEt, 281-2°; H, H, O2CNHBu, H, OEt, 272-5°; H, H, OMe, H, OEt, 229-30°; H, H, BuO, H, OEt, 228-9°; H, H, OC8H17, H, OEt, 205-6°; H, H, OC12H25, H, OEt, 202-3°; H, H, OCH2Ph, H, OEt, 245-6°; H, H, OCH2CH:CH2, H, OEt, 243-4°; OH, H, H, PhCMe2, OEt, 211-13°; OEt, H, H, PhCMe2, OEt, 155-6°; OC8H17, H, H, PhCMe2, OEt, 88-9°; H, H, OH, H, OEt, 280-2°. The following I were prepared (R1, R2, R3, R4, R5, R6, R7, and m.p. given): H, OEt, H, H, OEt, H, OEt, 122-3°; Me, H, Me, H, Me, OEt, H, 237-9°; H, Me, H, Me, H, OMe, OMe, 157-8°; OC8H17, H, H, tert-Bu, H, OMe, OMe, 110-11°; OMe, H, H, tert-Bu, H, OMe, OMe, 184-5°. The following I (R1 = R4 = R7 = H) were prepared: (R2, R3, R5, R6, and m.p.given): H, OMe, OMe, OMe, 174-5°; tert-Bu, H, OMe, OMe, 173-4°; tert-Bu, H, OC8H17, OMe, 93-4°; H, H, OC8H17, OMe, 92-3°; C1, OMe, OMe, OMe, 189-90°; H, Me, Me, OMe, 196-7°; Ph, H, OME, OMe, 187-8°; Ph, H, OC8H17, OMe, 144-6°; Ph, H, O2CPr, OMe, 135-7°; Cl, H, OH, OMe, 236-7°; Cl, H, OMe, OMe, 169-70°; Cl, H, OCH2CH2Cl, OMe, 130-1°; Cl, H, O(CH2)16Me, OMe, 92-3°; H, Ph, OMe, OMe, 188-9°; H, Ph, OC8H17, OMe, 145-6°; H, Ph, OCH2CO2Et, OMe, 193-4°; H, Ph, O(CH2)3Cl, OMe, 160-1°, Me, Me, OMe, OMe, 163-4°; Me, Me, OH, OMe, 226-7°; Me, Me OC8H17, OMe, 116-17°; Me, Me, O(CH2)3Cl, OMe, 131-2°. The following I (R1 = R3 = R4 = R7 = H) were prepared (R2, R5, R6 and m.p. given): CMe2CH2Bu-tert (III), OH, OMe,  $226-8^{\circ}$ ; III, OAc, OMe,  $154-5^{\circ}$ ; III, O2C(CH2)10Me, OMe,  $56-7^{\circ}$ ; III, OMe, OMe, 152-4°; III, O(CH2)7Me, OMe, 86-8°; III, OCH2CH:CH2, OMe, 116-18°; III, OCH2Ph, OMe, 104-6°; H, OH, OEt, 229-30°; H, OMe, OEt, 155-6°; H, O2CCH:CH2, OEt, 150-1°; H, OC8H17, OEt, 94-5°; H, O(CH2)3C1, OEt, 139-40°; H, OCH2Ph, OEt, 166-7°; H, OCH2CO2Et, OEt, 152-3°; H, OAc, OEt, 147-8°; H, OCH2CH2CH2CH2CN, OEt, 131-2°; H, OCH2CO2H, OEt, 193-4°; H, OCH2CONHPh, OEt, 252-3°; H, OCH2CO2C12H25, OEt, 115-16°; H, OBz, OEt, 167-8°; H, O2CC6H4Cl,

OEt, 205-6°; H, O2CC6H4 Bu-tert, OEt, 182-3°; H, O2CNHBu, OEt, 161-2°; tert-Bu, OH, OEt, 226-7°; tert-Bu, OMe, OEt, 161-2°; tert-Bu, OBu, OEt, 124-5°; tert-Bu, OC8H17, OEt, 90-1°; tert-Bu, OC12H25, OEt, 94-5°; tert-Bu, OC18H37, OEt, 98-5°; tert-Bu, O2CCH:CH2, OEt, 151-2°; H, OH, OEt, 267-8°; H, OMe, OEt, 186-7°; H, OBu, OEt, 181-2°; H, OC8H17, OEt, 158-9°; H, OC12H25, OEt, 150-1°; H, OC18H37, OEt, 135-6°; H, OCH2Ph, OEt, 185-6°; H, OCH2CO2Et, OEt, 198-9°; H, OAc, OEt, 201-2°; H, OH, H, 261-2°; H, OMe, H, 170-1°; H, O(CH2)3Cl, H, 91-2°; H, OC8H17, H, 86-7°; H, OCH2Ph, H, 167-8°; tert-Bu, OH, H, 201-2°; tert-Bu, OMe, H, 132-3°; tert-Bu, O(CH2)3Cl, H, 96-7°; tert-Bu, OC8H17, H, 99-100°; tert-Bu, OCH2Ph, H, 182-3°; tert-Bu, OC12H25, H, 76-7°; tert-Bu, OAc, H, 181- $2^{\circ}$ . The following I (R1 = R4 = R7 = H) were prepared (R2, R3, R5, R6 and m.p. given): H, Ph, OMe, H, 189-90°; H, Ph, OCH2CO2Et, H, 182-3°; H, Ph, OC18H37, H, 114-15°; tert-Bu, H, OH, Me, 228-9°; tert-Bu, H, OMe, Me, 169-70°; tert-Bu, H, O(CH2)3Cl, Me, 114-15°; tert-Bu, H, OC8H17, Me, 92-3°; tert-Bu, H, OCH2Ph, Me, 171-2°; H, Ph, OC8H17, Cl, 147-8°; tert-Bu, H, OMe, Cl, 172-3°; tert-Bu H, OC8H17, Cl, 116-17°; H, H, OEt, CN, 217-18°; tert-Bu, H, OMe, Ph, 188-9°. The following I (R1 = R2 = R3 = R4 = R7 = H) were prepared (R5, R6, and m.p.given): OH, Me, 221-2°; OMe, Me, 165-6°; OBu, Me, 103-4°; OC8H17, Me, 95-6°; OH, Cl, 246-7°; OMe, Cl, 212-13°; OEt, Cl, 187-9°; OBu, Cl, 116-17°; OC8H17, Cl,  $94-5^{\circ}$ . The following I (R1 = R3 = R4 = R6 = H and R7 = OMe) were prepared (R2, R5, and m.p. given): C1, OMe, 209-10°; C1, OC8H17, 91-2°; C1, O(CH2)3C1, 146-7°; Cl, OCH2Ph, 176-7°; Cl, OCH2CO2Et, 161-2°; Cl, OAc, 167-8°; tert-Bu, OC8H17, 119-20°; H, OC8H17, 117-18°; H, O(CH2)3Cl, 146-7°; H, OCH2Ph, 189-90°; H, OCH2CO2Et, 155-6°; H, OAc, 139-40°. The following I (R1 = R3 = R4 = R6 = H and R7 = Ph) were prepared (R2, R5, and m.p. given): H, OH,  $203-4^{\circ}$ ; H, OMe, 145-6°; H, OC8H17, 105-6°; H, O(CH2)3Cl, 148-9°; tert-Bu, OH, 227-8°; tert-Bu, OMe, 190-1°; tert-Bu, OC8H17, 149-50°; tert-Bu, O(CH2)3Cl, 168-9°; tert-Bu, OAc, 166-7°; tert-Bu, OCH2CO2Et, 157-8°.

IT 1081796-97-5P 1081812-86-3P

RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation) (Asymmetric oxalylbis[arylamides])

RN 1081796-97-5 CAPLUS

CN Ethanediamide, N1-[1,1'-biphenyl]-2-yl-N2-(4-ethyl-2-hydroxyphenyl)- (CA INDEX NAME)

RN 1081812-86-3 CAPLUS

CN Ethanediamide, N1-(2-hydroxy-4-methylphenyl)-N2-(4-methoxy[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)

$$\bigcap_{\text{Ph}}^{\text{OMe}} \text{NH} - \bigcap_{\text{C}}^{\text{O}} \bigcap_{\text{C}}^{\text{NH}} - \bigcap_{\text{OH}}^{\text{Me}}$$

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LOGOFF? (Y)/N/HOLD:y
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